research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:57:03 ON 31 DEC 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:57:32 ON 31 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 DEC 2007 HIGHEST RN 959750-30-2
DICTIONARY FILE UPDATES: 30 DEC 2007 HIGHEST RN 959750-30-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

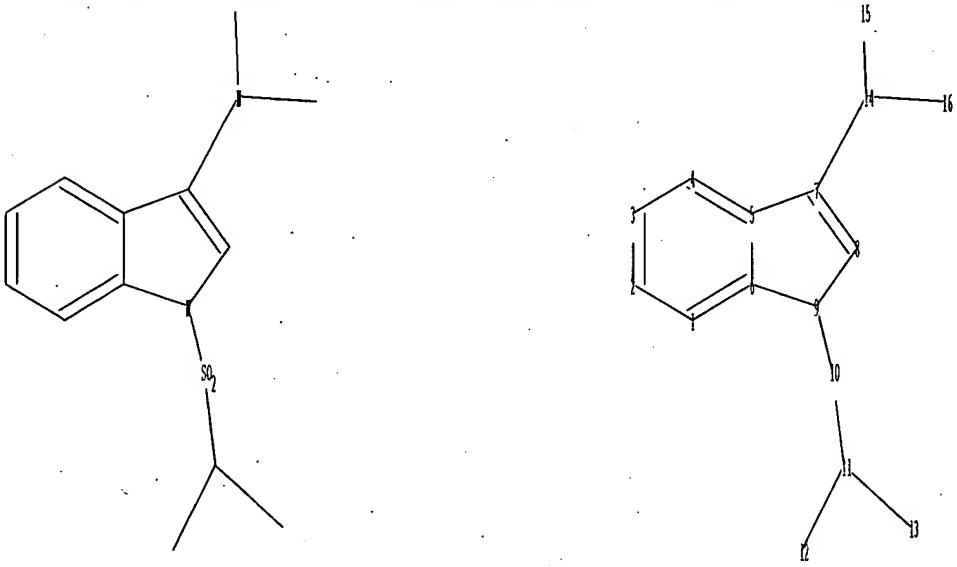
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

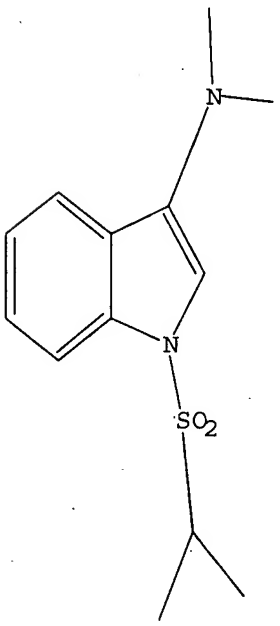
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10566400.str



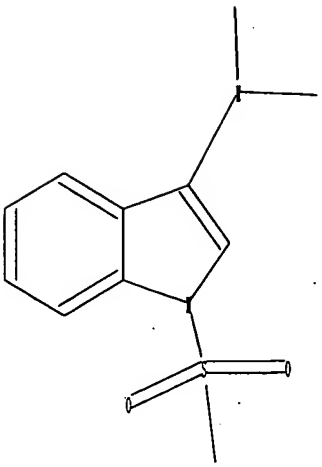
chain nodes :
10 11 14
ring nodes :

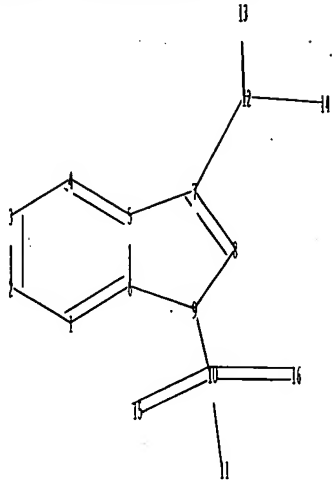
```
1  2  3  4  5  6  7  8  9
ring/chain nodes :
12  13  15  16
chain bonds :
7-14  9-10  10-11  11-12  11-13  14-15  14-16
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9
exact/norm bonds :
5-7  6-9  7-8  7-14  8-9  9-10  14-15  14-16
exact bonds :
10-11  11-12  11-13
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS

L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1                STR
```




```
Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 15:57:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      398 TO ITERATE

100.0% PROCESSED      398 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

L2           0 SEA SSS FUL L1
```

=>
Uploading C:\Program Files\Stnexp\Queries\10566400a.str



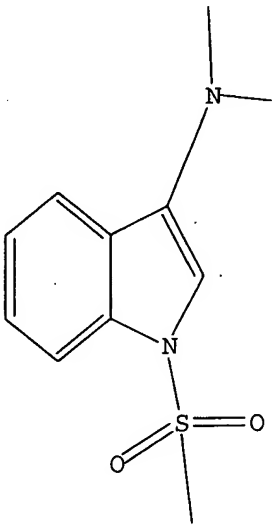


chain nodes :
10 12 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
11 13 14
chain bonds :
7-12 9-10 10-11 10-15 10-16 12-13 12-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-12 8-9 9-10 10-11 10-15 10-16 12-13 12-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR



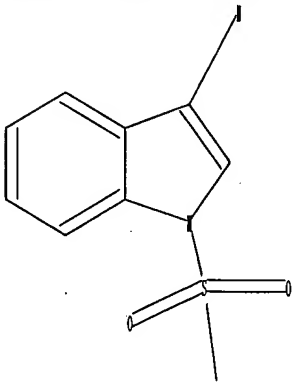
Structure attributes must be viewed using STN Express query preparation.

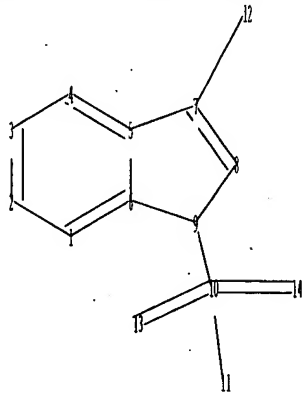
=> s l3 full
FULL SEARCH INITIATED 16:08:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3884 TO ITERATE

100.0% PROCESSED 3884 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L3

=>
Uploading C:\Program Files\Stnexp\Queries\10566400b.str





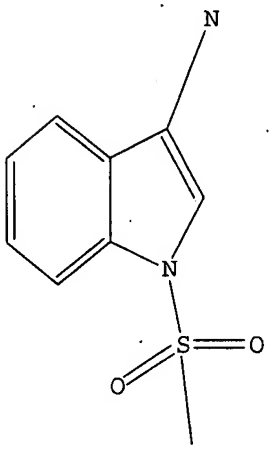
chain nodes :
10 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
11 12
chain bonds :
7-12 9-10 10-11 10-13 10-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-12 8-9 9-10 10-11 10-13 10-14
normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

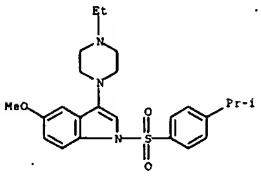
=> s l5 full
FULL SEARCH INITIATED 16:18:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5347 TO ITERATE

100.0% PROCESSED 5347 ITERATIONS 126 ANSWERS
SEARCH TIME: 00.00.01

L6 126 SEA SSS FUL L5

=> d l6 1-10

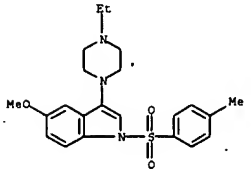
L6 ANSWER 1 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-02-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)
MF C24 H31 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

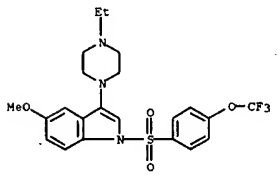
L6 ANSWER 2 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-01-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)
MF C22 H27 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

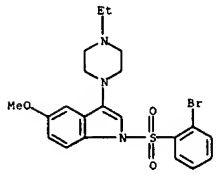
L6 ANSWER 3 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-00-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)
MF C22 H24 F3 N3 O4 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

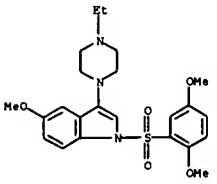
L6 ANSWER 4 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-99-4 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C21 H24 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

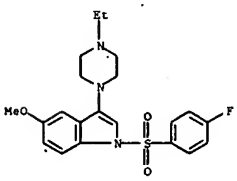
L6 ANSWER 5 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-98-3 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C23 H29 N3 O5 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

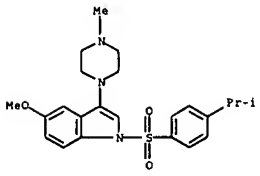
L6 ANSWER 6 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-97-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]-5-methoxy- (CA INDEX NAME)
MF C21 H24 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

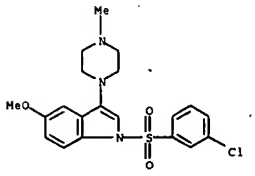
L6 ANSWER 7 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-96-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C23 H29 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

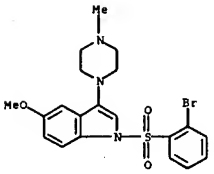
L6 ANSWER 8 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-95-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Cl N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

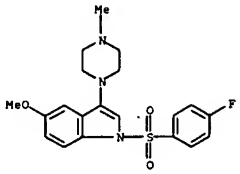
L6 ANSWER 9 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-94-9 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 10 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-93-8 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER

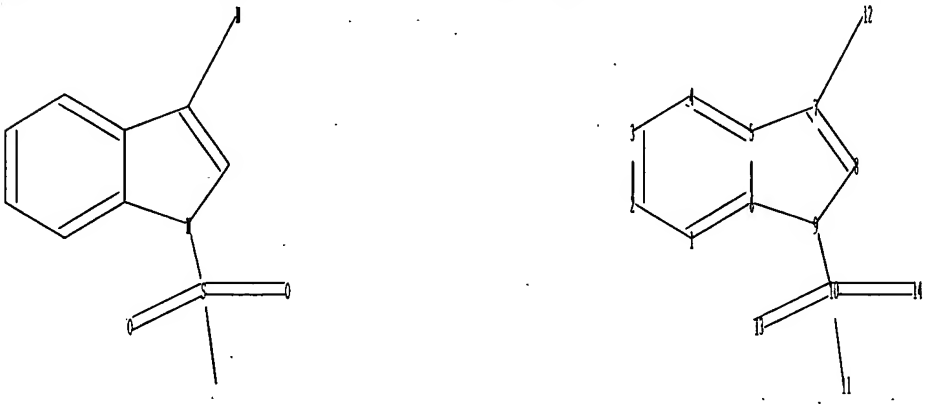


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10566400c.str

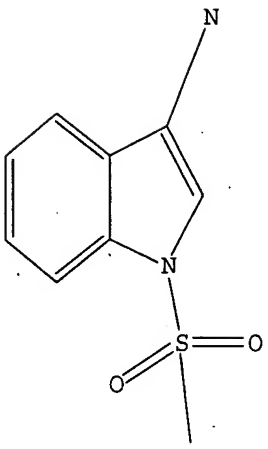


chain nodes :
10 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 12
ring/chain nodes :
11
chain bonds :
7-12 9-10 10-11 10-13 10-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-12 8-9 9-10 10-11 10-13 10-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L8 STRUCTURE UPLOADED

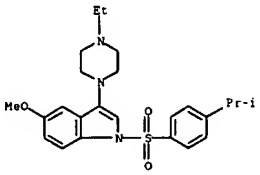
=> d
L8 HAS NO ANSWERS
L8 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l8 full
FULL SEARCH INITIATED 16:23:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      5347 TO ITERATE

100.0% PROCESSED      5347 ITERATIONS                                  97 ANSWERS
SEARCH TIME: 00.00.01

L9            97 SEA SSS FUL L8

=> d l9 1-10
```

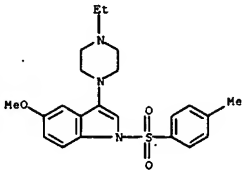
L9 ANSWER 1 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-02-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)
MF C24 H31 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

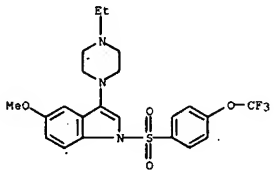
L9 ANSWER 2 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-01-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)
MF C22 H27 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

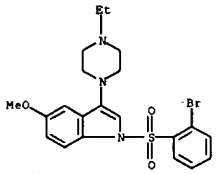
L9 ANSWER 3 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-00-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)
MF C22 H24 F3 N3 O4 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

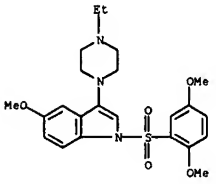
L9 ANSWER 4 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-99-4 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C21 H24 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

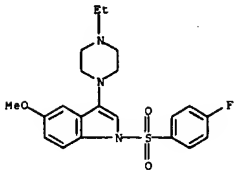
L9 ANSWER 5 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-98-3 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C23 H29 N3 O5 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

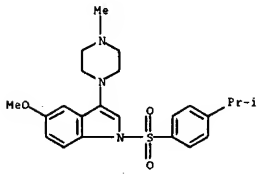
L9 ANSWER 6 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-97-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]-5-methoxy- (CA INDEX NAME)
MF C21 H24 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

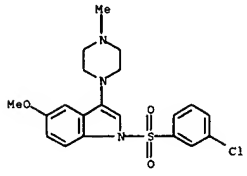
L9 ANSWER 7 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-96-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)-- (CA INDEX NAME)
MF C23 H29 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

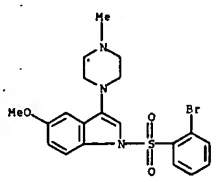
L9 ANSWER 8 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-95-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Cl N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

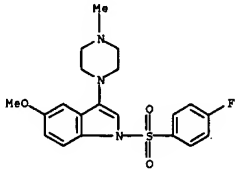
L9 ANSWER 9 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-94-9 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 10 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-93-8 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	198.36	772.21

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FILE LAST UPDATED: 30 Dec 2007 (20071230/ED)

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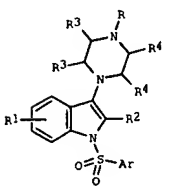
=> s l9
L10 6 L9

=> d l10 1-6 ibib abs hitstr

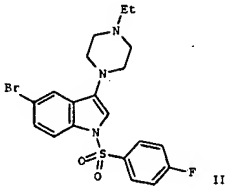
L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:1395332 CAPLUS
TITLE: Preparation of 3-(piperazin-1-yl)-N-(arylsulfonyl)indoles as 5-HT6 receptor ligands for the treatment of CNS disorders
INVENTOR(S): Ramakrishna, Venkata Satya Nirogi; Shirasath, Vikas Shreekrishna; Kambhampati, Rama Sastri; Deshpande, Amol Dinkar; Daulatbad, Anand Vijaykumar; Vishwakarma, Santosh; Jasti, Venkateswarlu
PATENT ASSIGNEE(S): Suven Life Sciences Limited, India
SOURCE: PCT Int. Appl., 44pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138611	A1	20071206	WO 2007-IN59	20070214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2006CH00923	A	20071207	IN 2006-CH923	20060530
PRIORITY APPLN. INFO.:			IN 2006-CH923	A 20060530

GI



I



II

AB Title compds. I [wherein Ar = (un)substituted Ph, naphthyl, monocyclic or bicyclic ring system; R1 (one or more) = H, halo, (halo)alkyl, (halo)alkoxy, cycloalkyl or cycloalkoxy; R2 = H or (halo)alkyl; R3, R4 = H or Me] were prepared as 5-HT6 receptor ligands. For instance, condensation of 5-bromo-1-acetylindoxyl with N-ethylpiperazine followed by deacetylation with KOH in methanol led to 3-(4-ethylpiperazin-1-yl)-5-bromoindole, which was reacted with 4-fluorobenzenesulfonyl chloride to give II. This product had a Ki value of 10.6 nM in an binding assay for human 5-HT6 receptor. Therefore, the invented compds. and their pharmaceutical comprns. are useful for the treatment of central nervous system disorders related to or affected by 5-HT6 receptors.

IT 959395-45-0P 959395-46-1P 959395-47-2P
959395-48-3P 959395-49-4P 959395-50-7P
959395-51-8P 959395-52-9P 959395-53-0P
959395-54-1P 959395-55-2P 959395-56-3P
959395-57-4P 959395-58-5P 959395-59-6P
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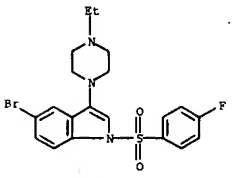
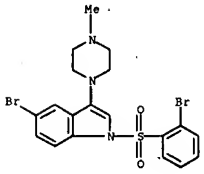
959395-96-1P 959395-97-2P 959395-98-3P
959395-99-4P 959396-00-0P 959396-01-1P
959396-02-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazinyl arylsulfonylindoles as 5-HT6 receptor ligands for treatment of CNS disorders)

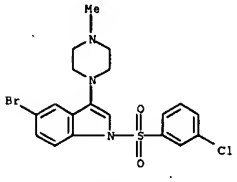
RN 959395-45-0 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



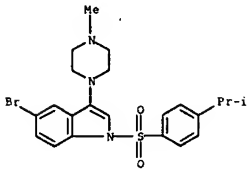
RN 959395-46-1 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



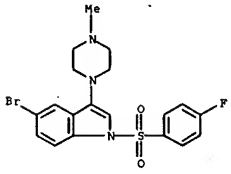
RN 959395-47-2 CAPLUS
CN 1H-Indole, 5-bromo-1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



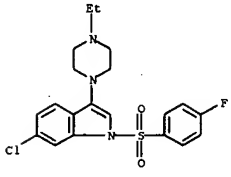
RN 959395-48-3 CAPLUS
CN 1H-Indole, 5-bromo-1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



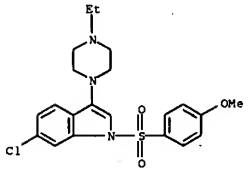
RN 959395-49-4 CAPLUS
CN 1H-Indole, 5-bromo-1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



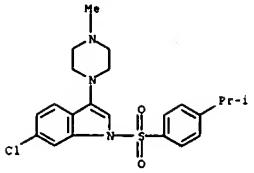
RN 959395-50-7 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



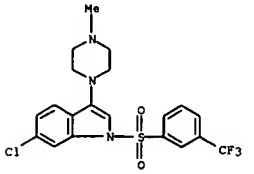
RN 959395-51-8 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methoxyphenyl)sulfonyl]- (CA INDEX NAME)



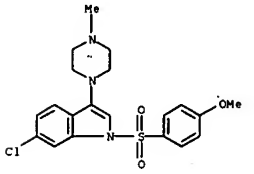
RN 959395-52-9 CAPLUS
CN 1H-Indole, 6-chloro-1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



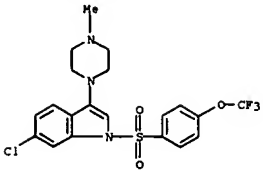
RN 959395-53-0 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-methyl-1-piperazinyl)-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)



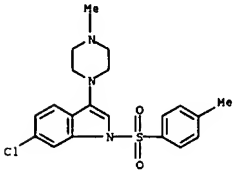
RN 959395-54-1 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-methoxyphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



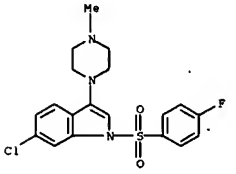
RN 959395-55-2 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-methyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



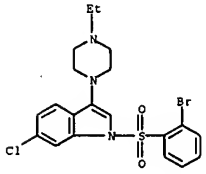
RN 959395-56-3 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-methylphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



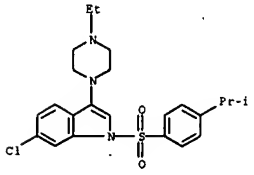
RN 959395-57-4 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



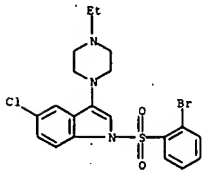
RN 959395-58-5 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-6-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



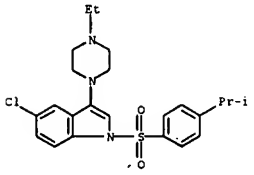
RN 959395-59-6 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



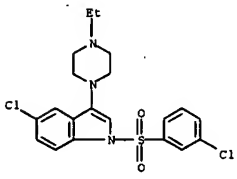
RN 959395-60-9 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-5-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



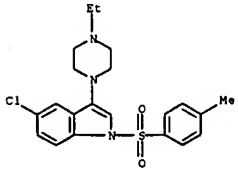
RN 959395-61-0 CAPLUS
CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



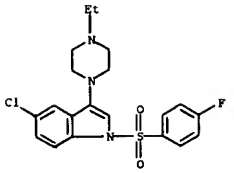
RN 959395-62-1 CAPLUS
CN 1H-Indole, 5-chloro-1-[(3-chlorophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



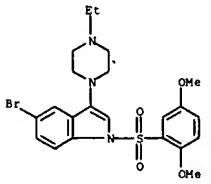
RN 959395-63-2 CAPLUS
CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



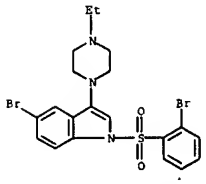
RN 959395-64-3 CAPLUS
CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



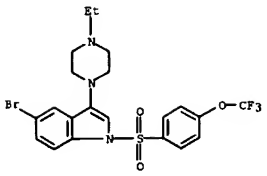
RN 959395-65-4 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



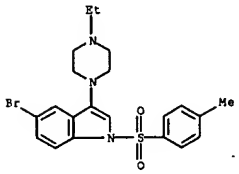
RN 959395-66-5 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



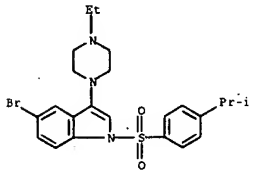
RN 959395-67-6 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



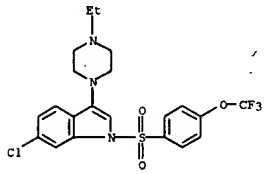
RN 959395-68-7 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



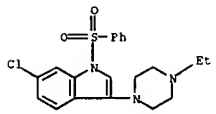
RN 959395-69-8 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



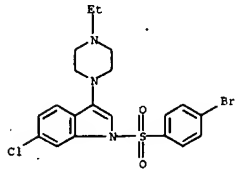
RN 959395-70-1 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



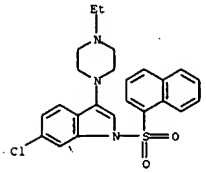
RN 959395-71-2 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-(phenylsulfonyl)- (CA INDEX NAME)



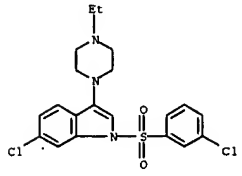
RN 959395-72-3 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-6-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



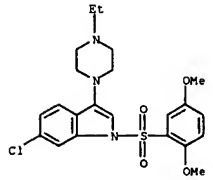
RN 959395-73-4 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-(1-naphthalenylsulfonyl)- (CA INDEX NAME)



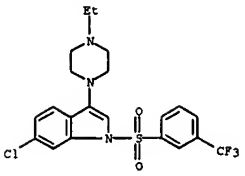
RN 959395-74-5 CAPLUS
CN 1H-Indole, 6-chloro-1-[(3-chlorophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



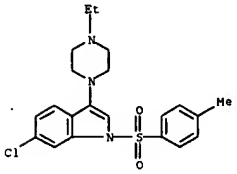
RN 959395-75-6 CAPLUS
CN 1H-Indole, 6-chloro-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



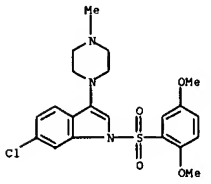
RN 959395-76-7 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)



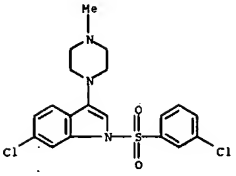
RN 959395-77-8 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



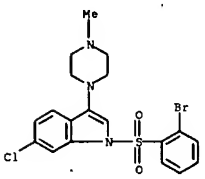
RN 959395-78-9 CAPLUS
CN 1H-Indole, 6-chloro-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



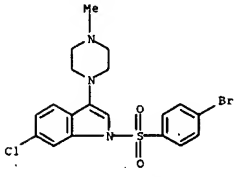
RN 959395-79-0 CAPLUS
CN 1H-Indole, 6-chloro-1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



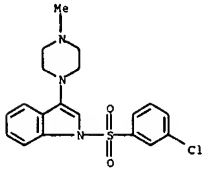
RN 959395-80-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-6-chloro-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



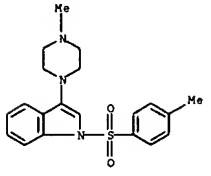
RN 959395-81-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-6-chloro-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



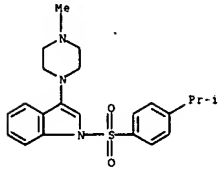
RN 959395-82-5 CAPLUS
CN 1H-Indole, 1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



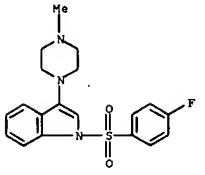
RN 959395-83-6 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



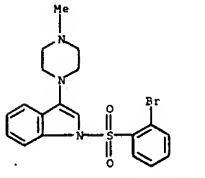
RN 959395-84-7 CAPLUS
CN 1H-Indole, 1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



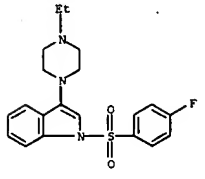
RN 959395-85-8 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



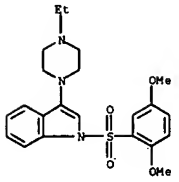
RN 959395-86-9 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



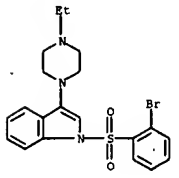
RN 959395-87-0 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



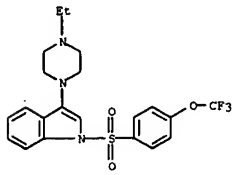
RN 959395-88-1 CAPLUS
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



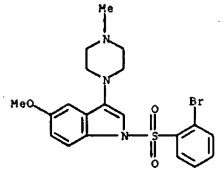
RN 959395-89-2 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



RN 959395-90-5 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



RN 959395-91-6 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 959395-95-0 CAPLUS
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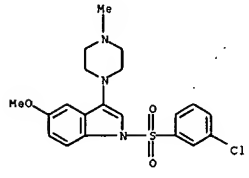
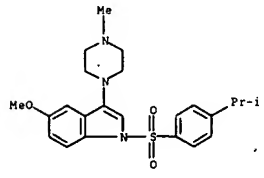
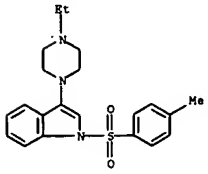


RN 959395-96-1 CAPLUS
CN 1H-Indole, 5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



RN 959395-97-2 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]-5-methoxy- (CA INDEX NAME)



RN 959395-92-7 CAPLUS
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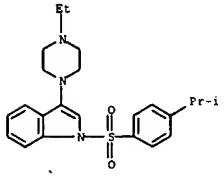
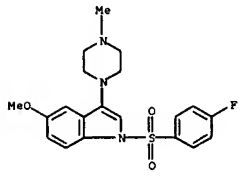
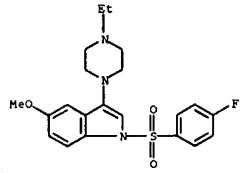


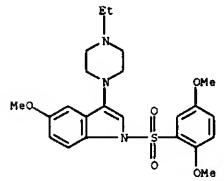
RN 959395-93-8 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



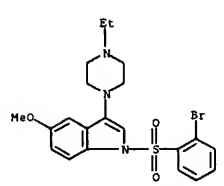
RN 959395-94-9 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



RN 959395-98-3 CAPLUS
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)

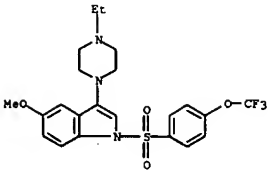


RN 959395-99-4 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



RN 959396-00-0 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)

L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 959396-01-1 CAPLUS
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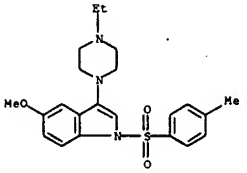
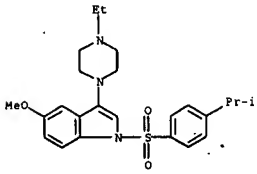


RN 959396-02-2 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



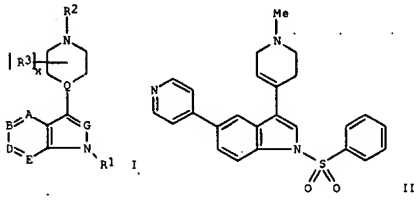
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:963884 CAPLUS
DOCUMENT NUMBER: 147:322994
TITLE: Preparation of heterocyclic compounds having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders
INVENTOR(S): Dunn, Robert; Nguyen, Truc Minh; Xie, Wenge; Tehim, Ashok
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 179pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098418	A1	20070830	WO 2007-US62340	20070216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-774399P P 20060217
OTHER SOURCE(S): MARPAT 147:322994
GI

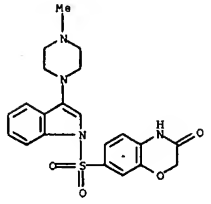


AB The present disclosure provides compds. having affinity for the 5HT6 receptor which are of the formula I (wherein A, B, D, E and G are CH, CR4 or N; ---- represents a single bond or a double bond; Q is C, CH, or N; x = 0-4; R1 is SO2Ar where Ar is a ring or ring system; R2 is H, (un)substituted alkyl, cycloalkyl, etc.; R3 is H or (un)substituted alkyl; R4 is halo, NO2, (un)substituted alkyl, etc.). Still further, the present

L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
invention provides methods for synthesizing compds. with such activity and selectivity, as well as methods of and corresponding pharmaceutical compns. for treating a disorder (e.g. a mood disorder and/or a cognitive disorder) in a patient, wherein the disorder is related to or affected by the 5HT6 receptor. Example compd. II was prepd. by reacting 5-bromo-3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1-(phenylsulfonyl)-1H-indole (prepn. given) with pyridin-3-ylboronic acid. Compds. of the invention show 5-HT6 binding activity with receptor Ki values of typically <1-100 nM. In addn., compds. of the invention show 5-HT6 functional activity with pA2 values of >6 (IC50 <1 μM).

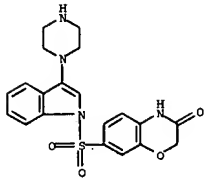
IT 947498-43-3P, 7-[[3-(4-Methylpiperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-44-4P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 947498-45-5P, 1-[[3-(3-Methoxypyrrolidin-1-yl)phenyl]sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole 947498-46-6P, 1-[[3-(3-Methoxypyrrolidin-1-yl)phenyl]sulfonyl]-3-(piperazin-1-yl)-1H-indole 947498-52-4P, 1-[(1-Acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole 947498-54-6P, 1-[(1-Acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-3-(piperazin-1-yl)-1H-indole 947498-55-7P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-56-8P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one formate 947498-57-9P, 4-Methyl-7-[[3-(piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-3,4-dihydro-2H-1,4-benzoxazine 947498-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic compds. having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders)

RN 947498-43-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



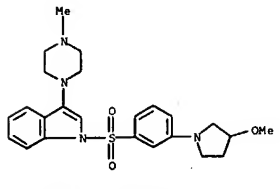
RN 947498-44-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

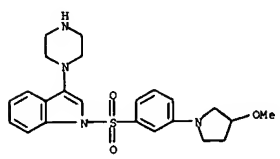


● HCl

RN 947498-45-5 CAPLUS
CN 1H-Indole, 1-[[3-(3-methoxy-1-pyrrolidinyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

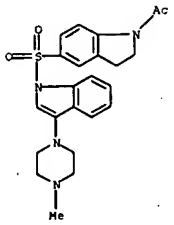


RN 947498-46-6 CAPLUS
CN 1H-Indole, 1-[[3-(3-methoxy-1-pyrrolidinyl)phenyl]sulfonyl]-3-(1-piperazinyl)- (CA INDEX NAME)

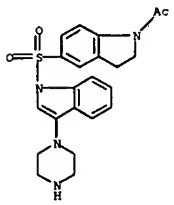


RN 947498-52-4 CAPLUS
CN Ethanone, 1-[2,3-dihydro-5-[[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl]-1H-indol-1-yl]- (CA INDEX NAME)

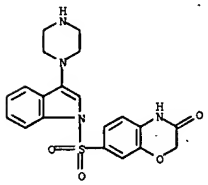
L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 947498-54-6 CAPLUS
CN Ethanone, 1-[2,3-dihydro-5-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-1H-indol-1-yl]- (CA INDEX NAME)

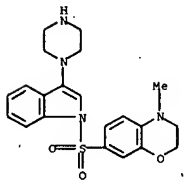


RN 947498-55-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 947498-57-9
CMF C21 H24 N4 O3 S



CM 2

CRN 64-18-6
CMF C H2 O2

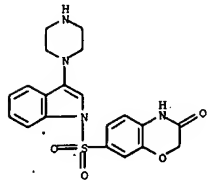
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 947498-56-8 CAPLUS
CN Formic acid, compd. with 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (CA INDEX NAME)

CM 1

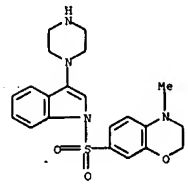
CRN 947498-55-7
CMF C20 H20 N4 O4 S



CM 2

CRN 64-18-6
CMF C H2 O2

RN 947498-57-9 CAPLUS
CN 2H-1,4-Benzoxazine, 3,4-dihydro-4-methyl-7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



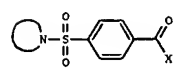
RN 947498-71-7 CAPLUS
CN Formic acid, compd. with 3,4-dihydro-4-methyl-7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazine (1:1) (CA INDEX NAME)

L10 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:638844 CAPLUS
DOCUMENT NUMBER: 143:133274
TITLE: Preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators
INVENTOR(S): Allen, Jennifer Rebecca; Amegadzie, Albert Kudzovi; Gardinier, Kevin Matthew; Gregory, George Stuart; Hitchcock, Steven Andrew; Hoogestraat, Paul J.; Jones, Winton Dennis, Jr.; Smith, Daryl Lynn
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066126	A1	20050721	WO 2004-US39763	20041213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004312311	A1	20050721	AU 2004-312311	20041213
CA 2549396	A1	20050721	CA 2004-2549396	20041213
EP 1699761	A1	20060913	EP 2004-812310	20041213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
BR 2004018079	A	20070417	BR 2004-18079	20041213
JP 2007519631	T	20070719	JP 2006-547015	20041213
US 2007088018	A1	20070419	US 2006-596495	20060615
US 7276516	B2	20071002		
MX 2006PA07199	A	20060914	MX 2006-PA7199	20060622
NO 2006003381	A	20060920	NO 2006-3381	20060721
PRIORITY APPLN. INFO.:			US 2003-532247P	P 20031223
			WO 2004-US39763	W 20041213

OTHER SOURCE(S): MARPAT 143:133274
GI

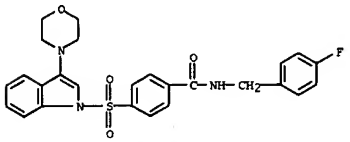


AB Title compds. I [the nitrogen containing ring = indolyl, pyrrolopyridinyl, etc.; X = amino, etc.] are prepared For instance,. N-(4-Fluorobenzyl)-4-[(3-phenylpyrrolo[3,2-c]pyridine-1-yl)sulfonyl]benzamide is prepared from

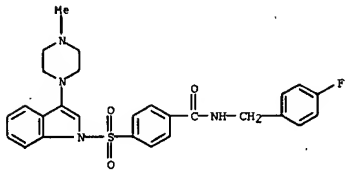
L10 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
3-Phenyl-1H-pyrrolo[3,2-c]pyridine (prepn. given) and 4-(4-Fluorobenzylcarbamoyl)benzenesulfonyl chloride (THF, KOBu-t, 16 h). Compds. of the invention exhibit IC50 ≤ 5 μM for the CB1 and CB2 receptors. I are useful in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders (e.g., multiple sclerosis, Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis), cerebral vascular accidents, head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease and schizophrenia. I are also useful for the treatment of substance abuse disorders, particularly to opiates, alc., and nicotine and for the treatment of obesity or eating disorders assocd. with excessive food intake and complications assocd. therewith.

IT 859165-17-6P, N-(4-Fluorobenzyl)-4-[3-(morpholin-4-yl)indole-1-sulfonyl]benzamide 859165-18-7P, N-(4-Fluorobenzyl)-4-[3-(4-methylpiperazin-1-yl)indole-1-sulfonyl]benzamide 859165-19-8P, N-(4-Fluorobenzyl)-4-[3-(piperidin-1-yl)indole-1-sulfonyl]benzamide 859166-95-3P, N-(4-Fluoro-3-methoxybenzyl)-4-[3-(piperidin-1-yl]indole-1-sulfonyl]benzamide Hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators)

RN 859165-17-6 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[[3-(4-morpholinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

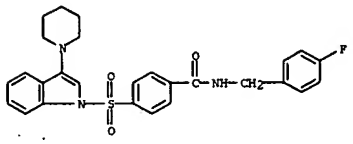


RN 859165-18-7 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

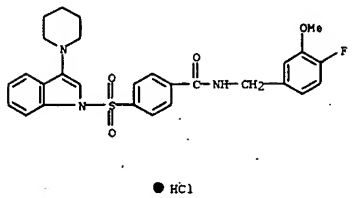


RN 859165-19-8 CAPLUS

L10 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[[3-(1-piperidinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



RN 859166-95-3 CAPLUS
CN Benzamide, N-[(4-fluoro-3-methoxyphenyl)methyl]-4-[[3-(1-piperidinyl)-1H-indol-1-yl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



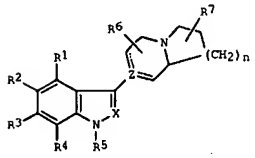
● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:468208 CAPLUS
DOCUMENT NUMBER: 135:61353
TITLE: Preparation of bicyclic piperidine and piperazine compounds having 5-HT6 receptor affinity
INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok; Qiao, Qi
PATENT ASSIGNEE(S): Nps Allelix Corp., Can.
SOURCE: U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 97,008.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6251893	B1	20010626	US 1998-156495	19980918
CA 2335285	A1	19991223	CA 1999-2335285	19990610
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003523922	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
ES 2209525	T3	20040616	ES 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215
PRIORITY APPLN. INFO.:			US 1998-97008	A2 19980615
			US 1998-156495	A 19980918
			WO 1999-CA543	W 19990610

OTHER SOURCE(S): MARPAT 135:61353
GI



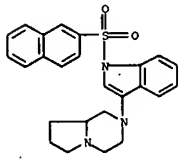
I

AB Title compds. I [R1-R4 = H, halo, OH, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN,

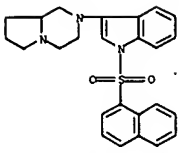
L10 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2, CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3, OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph; n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepd. as 5-HT6 receptor inhibitors for treatment of diseases such as schizophrenia. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

IT 252891-58-0P 252891-59-1P 252891-60-4P
252891-61-5P 252891-62-6P 252891-63-7P
252891-64-8P 252891-65-9P 252891-66-0P
252891-67-1P 252891-68-2P 252891-69-3P
252891-70-6P 252891-71-7P 252891-72-8P
252891-73-9P 252891-74-0P 252891-75-1P
252891-76-2P 252891-77-3P 252891-78-4P
252891-79-5P 252891-80-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic piperidine and piperazine compds. as 5-HT6 receptor antagonists)

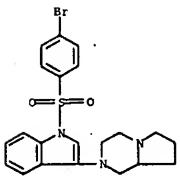
RN 252891-58-0 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



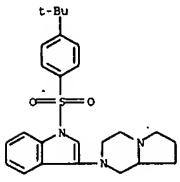
RN 252891-59-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



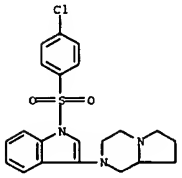
RN 252891-60-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



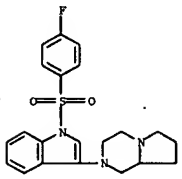
RN 252891-61-5 CAPLUS
CN 1H-Indole, 1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



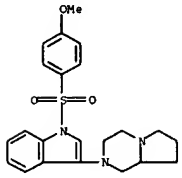
RN 252891-62-6 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



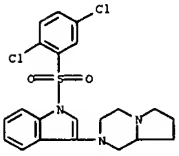
RN 252891-63-7 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



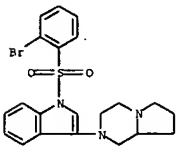
RN 252891-64-8 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methoxyphenyl)sulfonyl]-. (9CI) (CA INDEX NAME)



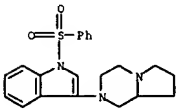
RN 252891-65-9 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



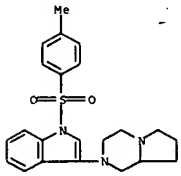
RN 252891-66-0 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



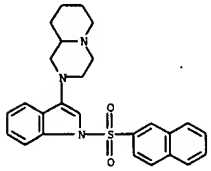
RN 252891-67-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



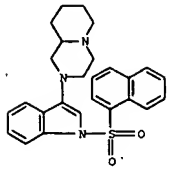
RN 252891-68-2 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



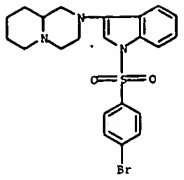
RN 252891-69-3 CAPLUS
CN 1H-Indole, 1-(2-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



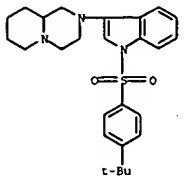
RN 252891-70-6 CAPLUS
CN 1H-Indole, 1-(1-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



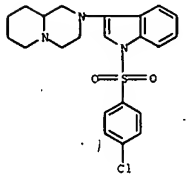
RN 252891-71-7 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



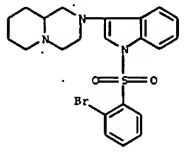
RN 252891-72-8 CAPLUS
CN 1H-Indole, 1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



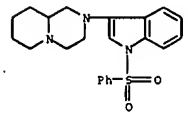
RN 252891-73-9 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



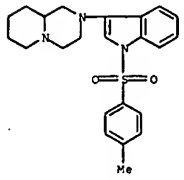
RN 252891-74-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



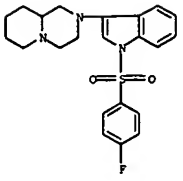
RN 252891-78-4 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



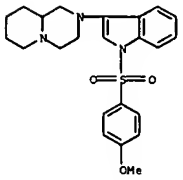
RN 252891-79-5 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



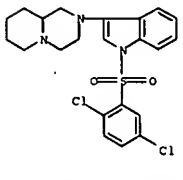
RN 252891-80-8 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



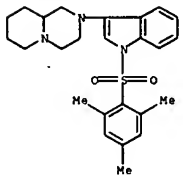
RN 252891-75-1 CAPLUS
CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-76-2 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-77-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)

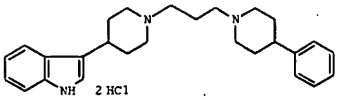


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:911215 CAPLUS
DOCUMENT NUMBER: 134:71490
TITLE: Preparation and effect of indole derivatives as α1B-adrenergic receptor antagonists
INVENTOR(S): Hayasahi, Ryoji; Ohmori, Eiji; Isogaya, Masafumi; Morikawa, Mitsuhiro; Kumagai, Hiroki
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078716	A1	20001228	WO 2000-JP4068	20000622
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2341542	A1	20001228	CA 2000-2341542	20000622
AU 2000055670	A	20010109	AU 2000-55670	20000622
EP 1106605	A1	20010613	EP 2000-940789	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6642228	B1	20031104	US 2001-763684	20010413
PRIORITY APPLN. INFO.:			JP 1999-178170 A	19990624
			WO 2000-JP4068 W	20000622

OTHER SOURCE(S): MARPAT 134:71490
GI



I

AB Title compds. [ArBNR1(CO)nAQ; Ar is indole or the like; R1 is hydrogen or the like; B is a bond or B-N-R1 may form a ring structure such as piperidine; n is 0, 1 or the like; A is trimethylene, butylene, or the like; and Q is piperidine, isoindoline, or the like] and pharmacol. acceptable acid addition salts are prepared as α1B-adrenergic receptor antagonists. Title compds. and the salts serve as antagonists having a high affinity for α1B-adrenergic receptor and are useful as drugs to be used in the prevention and/or treatment of α1B-adrenergic receptor-related diseases (such as hypertension) or as pharmacol. tools for the elucidation of physiol. actions occurring through α1B-adrenergic receptor. Thus, the title compound I was prepared and tested.

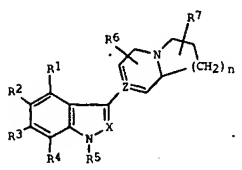
IT 314083-22-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and effect of indole deriva. as α1B-adrenergic receptor antagonists)

RN 314083-22-2 CAPLUS

L10 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:811242 CAPLUS
DOCUMENT NUMBER: 132:49982
TITLE: Bicyclic piperidine and piperazine compounds having 5HT6 receptor affinity
INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6251893	B1	20010626	US 1998-156495	19980918
CA 2335285	A1	19991223	CA 1999-2335285	19990610
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003523922	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215
PRIORITY APPLN. INFO.:			US 1998-97008 A	19980615
			US 1998-156495 A	19980918
			WO 1999-CA543 W	19990610

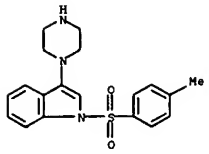
OTHER SOURCE(S): MARPAT 132:49982
GI



I

AB Title compds. I [R1-R4 = H, halo, OH, alkyl, alkoxy, alkenyl, alkynyl,

L10 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(1-piperazinyl)- (CA INDEX NAME).

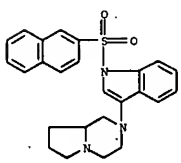


REFERENCE COUNT: 89 THERE ARE 89 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

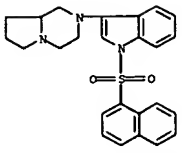
L10 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN, (un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2, CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3, OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph; n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepd. for use as inhibitors of the 5-HT6 receptor. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

IT 252891-58-0P 252891-59-1P 252891-60-4P
252891-61-5P 252891-62-6P 252891-63-7P
252891-64-8P 252891-65-9P 252891-66-0P
252891-67-1P 252891-68-2P 252891-69-3P
252891-70-6P 252891-71-7P 252891-72-8P
252891-73-9P 252891-74-0P 252891-75-1P
252891-76-2P 252891-77-3P 252891-78-4P
252891-79-5P 252891-80-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic piperidine and piperazine compds. as 5HT6 receptor
antagonists)

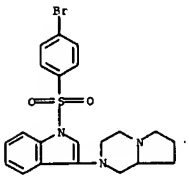
RN 252891-58-0 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



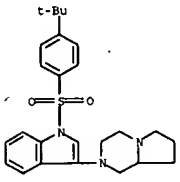
RN 252891-59-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



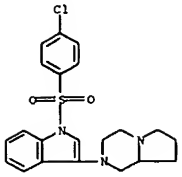
RN 252891-60-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



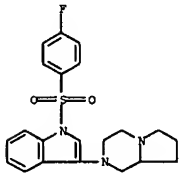
RN 252891-61-5 CAPLUS
CN 1H-Indole, 1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



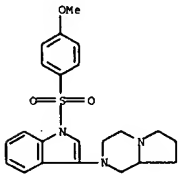
RN 252891-62-6 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



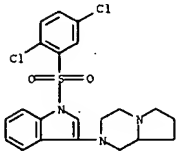
RN 252891-63-7 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



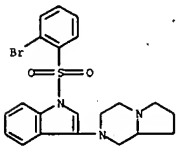
RN 252891-64-8 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



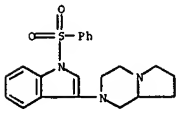
RN 252891-65-9 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



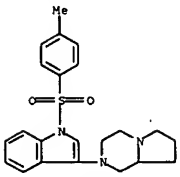
RN 252891-66-0 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



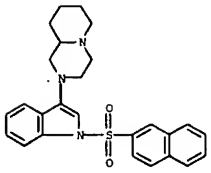
RN 252891-67-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



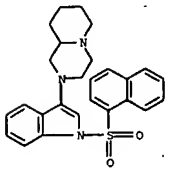
RN 252891-68-2 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



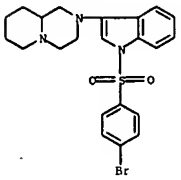
RN 252891-69-3 CAPLUS
CN 1H-Indole, 1-(2-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



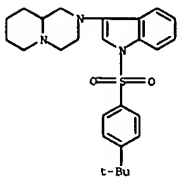
RN 252891-70-6 CAPLUS
CN 1H-Indole, 1-(1-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



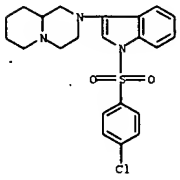
RN 252891-71-7 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



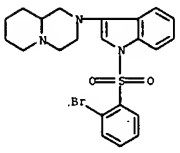
RN 252891-72-8 CAPLUS
CN 1H-Indole, 1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



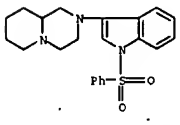
RN 252891-73-9 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



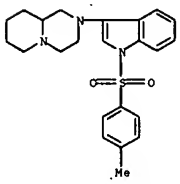
RN 252891-74-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



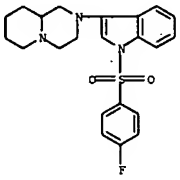
RN 252891-78-4 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



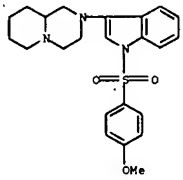
RN 252891-79-5 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



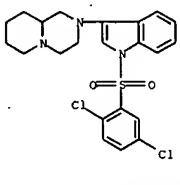
RN 252891-80-8 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



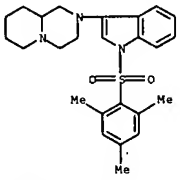
RN 252891-75-1 CAPLUS
CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-76-2 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-77-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:1395332 CAPLUS

TITLE: Preparation of 3-(piperazin-1-yl)-N-(arylsulfonyl)indoles as 5-HT6 receptor ligands for the treatment of CNS disorders

INVENTOR(S): Ramakrishna, Venkata Satya Nirogi; Shirasath, Vikas Shreekrishna; Kambhampati, Rama Sastri; Deshpande, Amol Dinkar; Daulatbad, Anand Vijaykumar; Vishwakarma, Santosh; Jasti, Venkateswarlu

PATENT ASSIGNEE(S): Suven Life Sciences Limited, India

SOURCE: PCT Int. Appl., 44pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

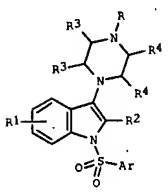
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138611	A1	20071206	WO 2007-IN59	20070214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2006CH00923	A	20071207	IN 2006-CH923	20060530

PRIORITY APPLN. INFO.: IN 2006-CH923 A 20060530

GI



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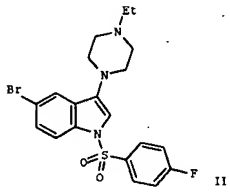

II

AB Title compds. I [wherein Ar = (un)substituted Ph, naphthyl, monocyclic or bicyclic ring system; R1 (one or more) = H, halo, (halo)alkyl, (halo)alkoxy, cycloalkyl or cycloalkoxy; R2 = H or (halo)alkyl; R3, R4 = H or Me] were prepared as 5-HT6 receptor ligands. For instance, condensation of 5-bromo-1-acetylindoxyl with N-ethylpiperazine followed by deacetylation with KOH in methanol led to 3-(4-ethylpiperazin-1-yl)-5-bromoindole, which was reacted with 4-fluorobenzenesulfonyl chloride to give II. This product had a Ki value of 10.6 nM in an binding assay for human 5-HT6 receptor. Therefore, the invented compds. and their pharmaceutical comps. are useful for the treatment of central nervous system disorders related to or affected by 5-HT6 receptors.

IT 959395-45-0P 959395-46-1P 959395-47-2P
959395-48-3P 959395-49-4P 959395-50-7P
959395-51-8P 959395-52-9P 959395-53-0P
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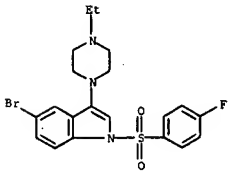
959395-96-1P 959395-97-2P 959395-98-3P
959395-99-4P 959396-00-0P 959396-01-1P
959396-02-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazinyl arylsulfonylindoles as 5-HT6 receptor ligands for treatment of CNS disorders)

RN 959395-45-0 CAPLUS

CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



RN 959395-46-1 CAPLUS

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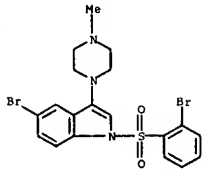
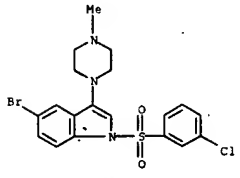


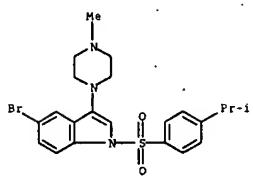
RN 959395-47-2 CAPLUS

CN 1H-Indole, 5-bromo-1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



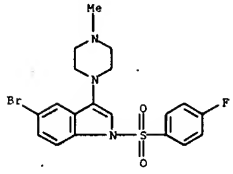
RN 959395-48-3 CAPLUS

CN 1H-Indole, 5-bromo-1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



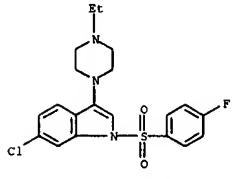
RN 959395-49-4 CAPLUS

CN 1H-Indole, 5-bromo-1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



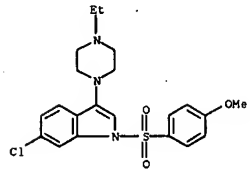
RN 959395-50-7 CAPLUS

CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



RN 959395-51-8 CAPLUS

CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methoxyphenyl)sulfonyl]- (CA INDEX NAME)



RN 959395-52-9 CAPLUS

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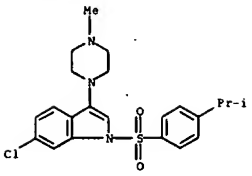
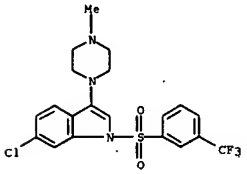
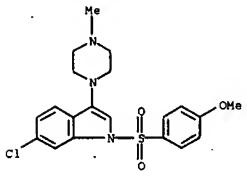


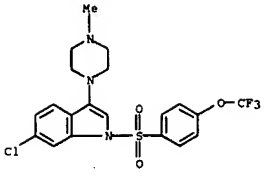
RN 959395-53-0 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-methyl-1-piperazinyl)-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)



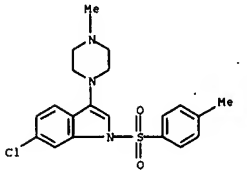
RN 959395-54-1 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-methoxyphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



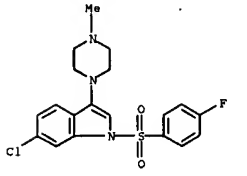
RN 959395-55-2 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-methyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



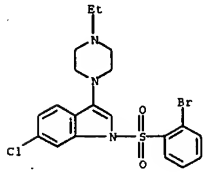
RN 959395-56-3 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-methylphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



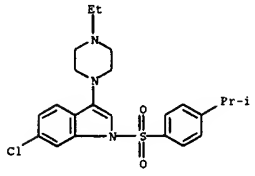
RN 959395-57-4 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



RN 959395-58-5 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-6-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



RN 959395-59-6 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



RN 959395-60-9 CAPLUS
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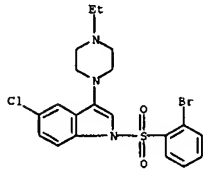
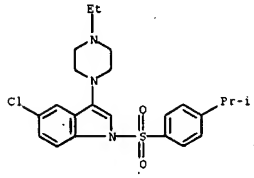


RN 959395-61-0 CAPLUS
CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



RN 959395-62-1 CAPLUS
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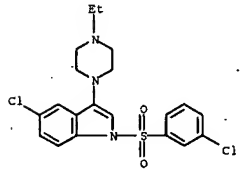

RN 959395-63-2 CAPLUS
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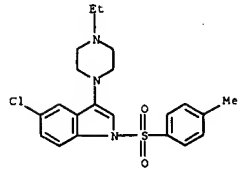
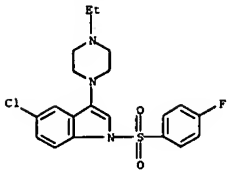
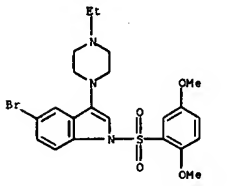


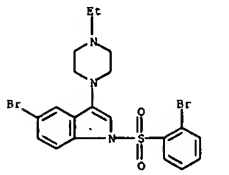
RN 959395-64-3 CAPLUS
CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



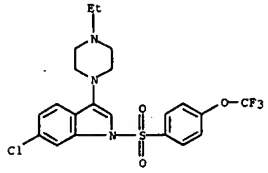
RN 959395-65-4 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



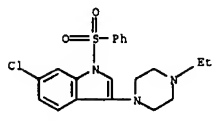
RN 959395-66-5 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



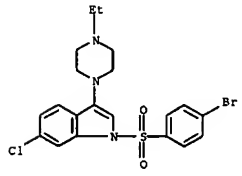
RN 959395-67-6 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



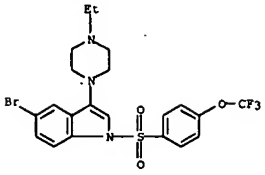
RN 959395-71-2 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-(phenylsulfonyl)- (CA INDEX NAME)



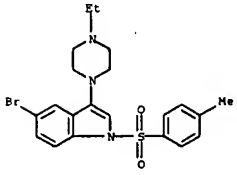
RN 959395-72-3 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-6-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



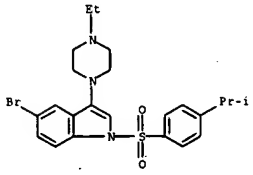
RN 959395-73-4 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-(1-naphthalenylsulfonyl)- (CA INDEX NAME)



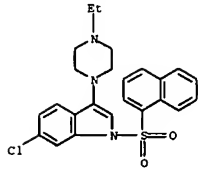
RN 959395-68-7 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



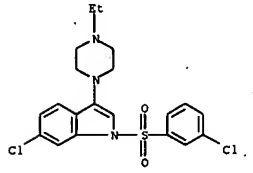
RN 959395-69-8 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



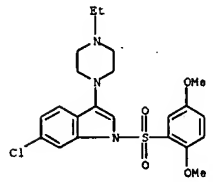
RN 959395-70-1 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



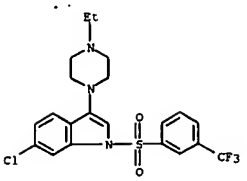
RN 959395-74-5 CAPLUS
CN 1H-Indole, 6-chloro-1-[(3-chlorophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



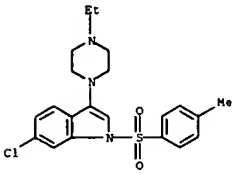
RN 959395-75-6 CAPLUS
CN 1H-Indole, 6-chloro-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



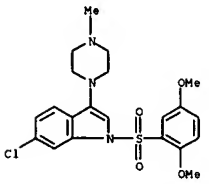
RN 959395-76-7 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)



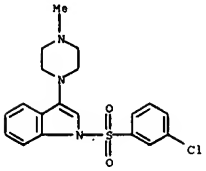
RN 959395-77-8 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



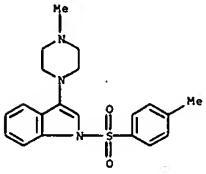
RN 959395-78-9 CAPLUS
CN 1H-Indole, 6-chloro-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



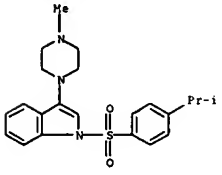
RN 959395-79-0 CAPLUS
CN 1H-Indole, 6-chloro-1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



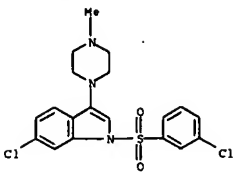
RN 959395-83-6 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



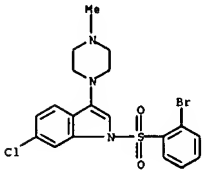
RN 959395-84-7 CAPLUS
CN 1H-Indole, 1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



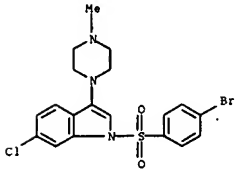
RN 959395-85-8 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



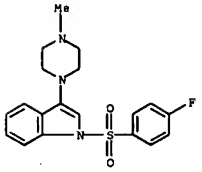
RN 959395-80-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-6-chloro-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



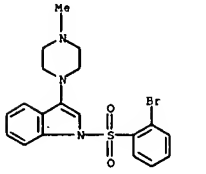
RN 959395-81-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-6-chloro-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



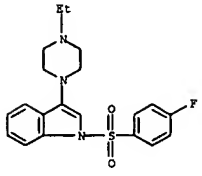
RN 959395-82-5 CAPLUS
CN 1H-Indole, 1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



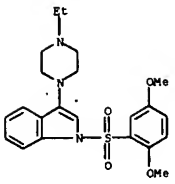
RN 959395-86-9 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



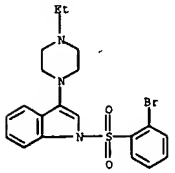
RN 959395-87-0 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



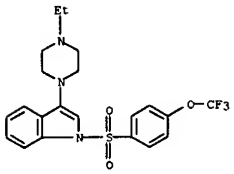
RN 959395-88-1 CAPLUS
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



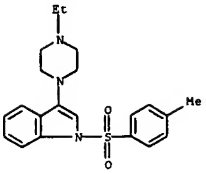
RN 959395-89-2 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



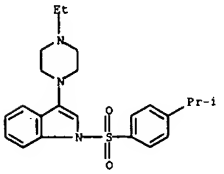
RN 959395-90-5 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)



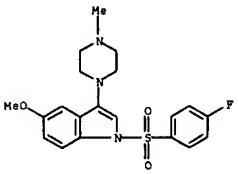
RN 959395-91-6 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



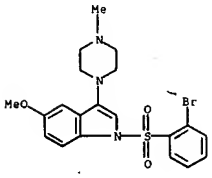
RN 959395-92-7 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



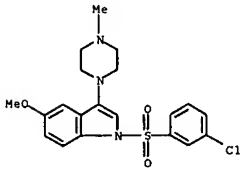
RN 959395-93-8 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



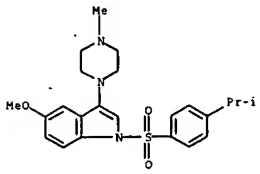
RN 959395-94-9 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



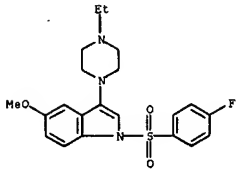
RN 959395-95-0 CAPLUS
CN 1H-Indole; 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



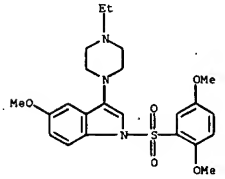
RN 959395-96-1 CAPLUS
CN 1H-Indole, 5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



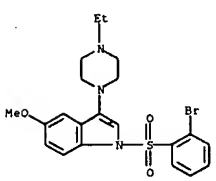
RN 959395-97-2 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]-5-methoxy- (CA INDEX NAME)



RN 959395-98-3 CAPLUS
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)

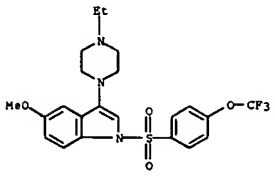


RN 959395-99-4 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)

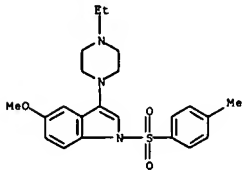


RN 959396-00-0 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (CA INDEX NAME)

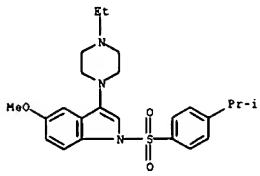
L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 959396-01-1 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 959396-02-2 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[[4-(1-methylethyl)phenyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

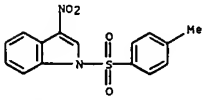
L7 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:1052456 CAPLUS
DOCUMENT NUMBER: 147:522178
TITLE: Multicomponent Domino [4+2]/[3+2] Cycloadditions of Nitroheteroaromatics: An Efficient Synthesis of Fused Nitrogenated Polycycles
AUTHOR(S): Chataigner, Isabelle; Piettre, Serge R.
CORPORATE SOURCE: Universite de Rouen, UMR CNRS 6014, Mont Saint Aignan, F-76821, Fr.
SOURCE: Organic Letters (2007), 9(21), 4159-4162
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Activation by high pressure allows 3-nitroindole and 3-nitropyrrole derivs. to behave as electron-poor heterodienes in multicomponent domino [4+2]/[3+2] cycloaddn. processes. The primary [4+2] inverse demand cycloaddn. appears to be completely endo selective, while the subsequent [3+2] process shows a total facial selectivity, setting the stereochem. at ring junction, and an endo/exo selectivity depending on the nature of the heterocycle. A polycyclic diamine featuring a quaternary center at ring junction is efficiently generated in two steps.

IT 228412-76-8, 3-Nitro-1-tosyl-1H-indole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fused nitrogenated polycycles via multicomponent domino cycloaddns. of nitrogen heterocycles with alkene derivs.)

RN 228412-76-8 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

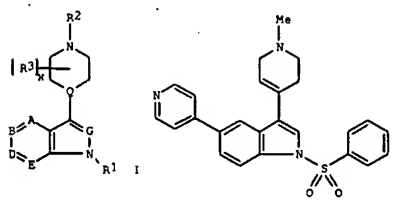
L7 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:963884 CAPLUS
DOCUMENT NUMBER: 147:322994
TITLE: Preparation of heterocyclic compounds having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders
INVENTOR(S): Dunn, Robert; Nguyen, Truc Minh; Xie, Wenge; Tehim, Ashok
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 179pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098418	A1	20070830	WO 2007-US62340	20070216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-774399P P 20060217
OTHER SOURCE(S): MARPAT 147:322994
GI



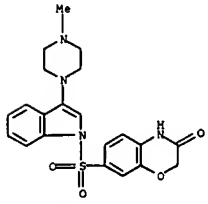
AB The present disclosure provides compds. having affinity for the 5HT6 receptor which are of the formula I (wherein A, B, D, E and G are CH, CR4 or N; ---- represents a single bond or a double bond; Q is C, CH, or N; x = 0-4; R1 is SO2Ar where Ar is a ring or ring system; R2 is H, (un)substituted alkyl, cycloalkyl, etc.; R3 is H or (un)substituted alkyl; R4 is halo, NO2, (un)substituted alkyl, etc.). Still further, the present

L7 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

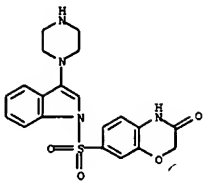
invention provides methods for synthesizing compds. with such activity and selectivity, as well as methods of and corresponding pharmaceutical comps. for treating a disorder (e.g. a mood disorder and/or a cognitive disorder) in a patient, wherein the disorder is related to or affected by the 5HT6 receptor. Example compd. II was prepd. by reacting 5-bromo-3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1-(phenylsulfonyl)-1H-indole (prepn. given) with pyridin-3-ylboronic acid. Compds. of the invention show 5-HT6 binding activity with receptor Ki values of typically <1-100 nM. In addn., compds. of the invention show 5-HT6 functional activity with pA2 values of >6 (IC50 <1 μM).

IT 947498-43-3P, 7-[[3-(4-Methylpiperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-44-4P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 947498-45-5P, 1-[[3-(3-Methoxypyrrolidin-1-yl)phenyl]sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole 947498-46-6P, 1-[[3-(3-Methoxypyrrolidin-1-yl)phenyl]sulfonyl]-3-(piperazin-1-yl)-1H-indole 947498-52-4P, 1-[(1-Acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole 947498-54-6P, 1-[(1-Acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-3-(piperazin-1-yl)-1H-indole 947498-55-7P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-56-8P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one formate 947498-57-9P, 4-Methyl-7-[[3-(piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-3,4-dihydro-2H-1,4-benzoxazine 947498-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic compds. having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders)

RN 947498-43-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

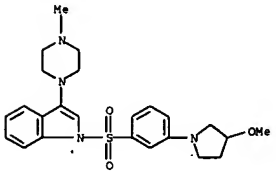


RN 947498-44-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

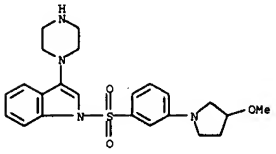


● HCl

RN 947498-45-5 CAPLUS
CN 1H-Indole, 1-[[3-(3-methoxy-1-pyrrolidinyl)phenyl]sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



RN 947498-46-6 CAPLUS
CN 1H-Indole, 1-[[3-(3-methoxy-1-pyrrolidinyl)phenyl]sulfonyl]-3-(1-piperazinyl)- (CA INDEX NAME)

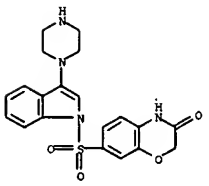


RN 947498-52-4 CAPLUS
CN Ethanone, 1-(2,3-dihydro-5-[[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl]-1H-indol-1-yl]- (CA INDEX NAME)

RN 947498-56-8 CAPLUS
CN Formic acid, compd. with 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (CA INDEX NAME)

CM 1

CRN 947498-55-7
CMF C20 H20 N4 O4 S

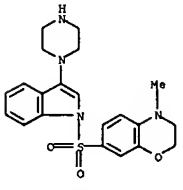


CM 2

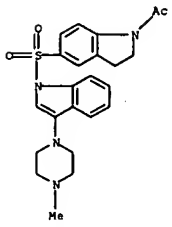
CRN 64-18-6
CMF C H2 O2

O=CH—OH

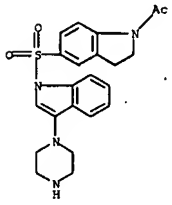
RN 947498-57-9 CAPLUS
CN 2H-1,4-Benzoxazine, 3,4-dihydro-4-methyl-7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



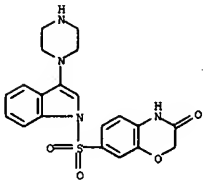
RN 947498-71-7 CAPLUS
CN Formic acid, compd. with 3,4-dihydro-4-methyl-7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazine (1:1) (CA INDEX NAME)



RN 947498-54-6 CAPLUS
CN Ethanone, 1-[2,3-dihydro-5-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-1H-indol-1-yl]- (CA INDEX NAME)

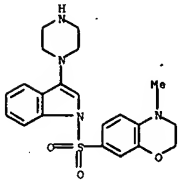


RN 947498-55-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



CM 1

CRN 947498-57-9
CMF C21 H24 N4 O3 S



CM 2

CRN 64-18-6
CMF C H2 O2

O=CH—OH

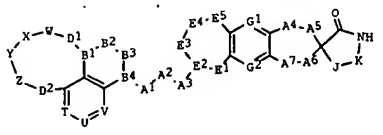
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:592002 CAPLUS
DOCUMENT NUMBER: 147:31116
TITLE: Preparation of spiro-hydantoin aryl CGRP receptor antagonists
INVENTOR(S): Bell, Ian M.; Stump, Craig A.; Theberge, Cory R.; Gallicchio, Steven N.; Zartman, C. Blair; Selnick, Harold G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 176pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

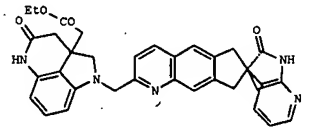
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007061692	A2	20070531	WO 2006-US44181	20061114
WO 2007061692	A3	20071129		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-737977P P 20051118
OTHER SOURCE(S): MARPAT 147:31116
GI



I



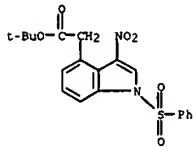
II

L7 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Spiro-cyclic imidazoquinoxaline compds. I, wherein A1-A3 are independently a bond, substituted C and N, substituted C-N, alkoxy, -C.tplbond.C-, -C(O)-, substituted -C=C-; one or two of A1-A3 are absent; A4-A7 are independently a bond, substituted C; one of A4-A7 is O, -C(O)-, NH, substituted N; E1 and E5 are independently -C(O)-, -C(S)-, substituted C and N, =N-, -NO-, -O-, -S-, -SO2-; E3 and E4 are independently absent, a bond, -C(O)-, substituted C and N, =N-, -NO-, -O-; E2 is substituted C and N; G1 and G2 are independently substituted =C-, =N-, nitroso; B1 and B4 are substituted C and N; B2 and B3 are independently absent, a bond, substituted =C-, -C-, -C(O)-, -C(S)-, imine, =N-, substituted -N-, -O-, -S-, -SO2-; D1 and D2 are independently =C-, substituted -C-, -C(O)-, -C(S)-, =N-, -O-, -S-, -SO2-, imine; J is -C(O)-, substituted -C-, substituted =C-; K is substituted =C-, substituted -C-, C(O)-, -SO2-, =N-, substituted -N-; W, X, Y, Z are independently absent, a bond, substituted -C-, -C(O)-, -C(S)-, =N-, -O-, -S-, -SO2-, imine; T, U, V are independently substituted =C-, =N-, nitroso, were prepared as CGRP receptor antagonists (no biol. data). Thus, spiro-cyclic imidazoquinoxaline II was prepared by the reaction of (S)-2'-oxo-1,1',2',6,8-tetrahydrospiro[cyclopenta[g]quinoline-7,3'-pyrrolo[2,3-b]pyridine]-2-carboxaldehyde, with Et [4-oxo-1,2,4,5-tetrahydro-pyrrolo[4,3,2-de]quinolin-2a (3H)-yl]acetate in presence of sodium triacetoxyborohydride. A method for antagonism of CGRP receptor activity in a mammal which comprises the administration of an effective amount of title compds., was claimed. A method of treating or preventing migraine headaches, cluster headaches, and headaches, said method comprising the co-administration, to a person in need of such treatment, and a therapeutically effective amount of a second agent selected from serotonin agonists, analgesics, antiinflammatory agents, antihypertensives and anticonvulsants, is claimed.

IT 880087-01-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of spiro-hydantoin aryl CGRP receptor antagonists)

RN 880087-01-4 CAPLUS
CN 1H-Indole-4-acetic acid, 3-nitro-1-(phenylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

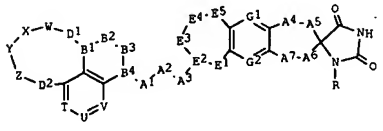


L7 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:590575 CAPLUS
DOCUMENT NUMBER: 147:31106
TITLE: Preparation of spiro-hydantoin aryl CGRP receptor antagonists
INVENTOR(S): Bell, Ian M.; Stump, Craig A.; Theberge, Cory R.; Gallicchio, Steven N.; Zartman, C. Blair
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 174pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007061694	A2	20070531	WO 2006-US44190	20061114
WO 2007061694	A3	20071206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-738332P P 20051118
OTHER SOURCE(S): MARPAT 147:31106
GI



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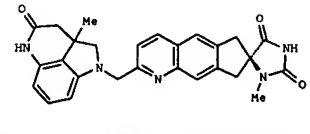


II

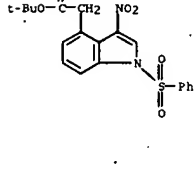
AB Spiro-cyclic imidazoquinoxaline compds. I, wherein A1-A3 are independently a bond, substituted C and N, substituted C-N, alkoxy, -C.tplbond.C-,

L7 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

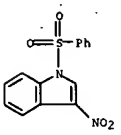
-C(O)-, substituted -C=C-; one or two of A1-A3 are absent; A4-A7 are independently a bond, substituted C; one of A4-A7 is O, -C(O)-, NH, substituted N; E1 and E5 are independently -C(O)-, -C(S)-, substituted C and N, =N-, -NO-, -O-, -S-, -SO2-; E3 and E4 are independently absent, a bond, -C(O)-, substituted C and N, =N-, -NO-, -O-; E2 is substituted C and N; G1 and G2 are independently substituted =C-, =N-, nitroso; R is H, alkyl, cycloalkyl, Ph, heterocycle; B1 and B4 are substituted C and N; B2 and B3 are independently absent, a bond, substituted =C-, -C-, -C(O)-, -C(S)-, imine, =N-, substituted -N-, -O-, -S-, -SO2-; D1 and D2 are independently =C-, substituted -C-, -C(O)-, -C(S)-, =N-, -O-, -S-, -SO2-, imine; W, X, Y, Z are independently absent, a bond, substituted -C-, -C(O)-, -C(S)-, =N-, -O-, -S-, -SO2-, imine; T, U, V are independently substituted =C-, =N-, nitroso, were prepd. as CGRP receptor antagonists (no biol. data). Thus, spiro-cyclic imidazoquinoxaline II was prepd. by the reaction of 2a-methyl-2a,5-dihydropyrrolo[4,3,2-de]quinoline-2,4(1H,3H)-dione, with (7R)-2-(chloromethyl)-3'-methyl-6,8-dihydro-2'H,5'H-spiro[cyclopenta[g]quinoline-7,4'-imidazolidine]-2',5'-dione in presence of trifluoroacetic acid. A method for antagonism of CGRP receptor activity in a mammal which comprises the administration of an effective amt. of title compds., was claimed. A method of treating or preventing migraine headaches, cluster headaches, and headaches, said method comprising the co-administration, to a person in need of such treatment, and a therapeutically effective amt. of a second agent selected from serotonin agonists, analgesics, antiinflammatory agents, antihypertensives and anticonvulsants, is claimed.

IT 880087-01-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of spiro-hydantoin aryl CGRP receptor antagonists)

RN 880087-01-4 CAPLUS
CN 1H-Indole-4-acetic acid, 3-nitro-1-(phenylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



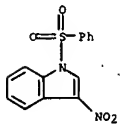
L7 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:377966 CAPLUS
DOCUMENT NUMBER: 146:431869
TITLE: 3-Nitro-1-(phenylsulfonyl)-1H-indole
AUTHOR(S): Roy, Sujata; Pelkey, Erin T.; Gribble, Gordon W.; Jasinski, Jerry P.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755-3564, USA
SOURCE: Acta Crystallographica, Section E: Structure Reports Online (2007), E63(4), o1829-o1831
CODEN: ACSEBH; ISSN: 1600-5368
URL: http://journals.iucr.org/e/issues/2007/04/00/tk2144/tk2144.pdf
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB The crystal structure of the title compound, C14H10N2O4S, confirms the position of the nitro group at position C-3 of the indole ring system. While the nitro group is coplanar with the indole ring system, the angle between the indole and Ph planes is 83.9(3)°. Crystal data: monoclinic, P21/n, a = 14.8141(2), b = 4.8664(1), c = 18.9508(2) Å, β = 110.403(5)°, Z = 4, 3587 observed reflections with I > 2σ(I), 190 refined parameters, R[F2 > 2σ(F2)] = 0.032, wR(F2) = 0.087 at T = 100(2) K.
IT 116325-19-0
RL: PRP (Properties)
(crystal and mol. structure of)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



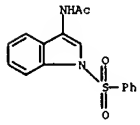
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:194791 CAPLUS
DOCUMENT NUMBER: 146:401772
TITLE: Convenient synthesis of masked aminoindoles by indium mediated one-pot reductive acylation of 3- and 2-nitroindoles
AUTHOR(S): Roy, Sujata; Gribble, Gordon W.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Heterocycles (2006), 70, 51-56
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:401772
AB Unstable 3- and 2-aminoindoles are generated in situ by indium mediated reduction of 3- and 2-nitroindoles and capped as the stable amides (or carbamate) in moderate to high yields under mild conditions in a one-pot procedure.
IT 116325-19-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of masked aminoindoles by indium-mediated reductive acylation
of nitroindoles)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

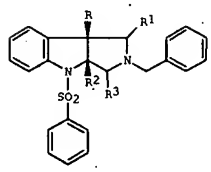


IT 933800-34-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of masked aminoindoles by indium-mediated reductive acylation
of nitroindoles)
RN 933800-34-1 CAPLUS
CN Acetamide, N-[1-(phenylsulfonyl)-1H-indol-3-yl]- (CA INDEX NAME)



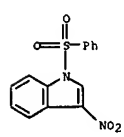
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:122039 CAPLUS
DOCUMENT NUMBER: 146:358729
TITLE: 1,3-Dipolar cycloaddition of 2- and 3-nitroindoles with azomethine ylides. A new approach to pyrrolo[3,4-b]indoles
AUTHOR(S): Roy, Sujata; Kishbaugh, Tara L. S.; Jasinski, Jerry P.; Gribble, Gordon W.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Tetrahedron Letters (2007), 48(8), 1313-1316
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:358729
GI



I

AB The 1,3-dipolar cycloaddn. of unstabilized azomethine ylides with 2- and 3-nitroindoles furnishes the expected hexahydropyrrolo[3,4-b]indole cycloadducts in good to excellent yields. The cycloadducts can be denitrated with Bu3SnH/AIBN, and cycloadduct I (R = NO2, R1 = R2 = R3 = H) was oxidized with MnO2 to yield the known pyrrolo[3,4-b]indole I (RR1 = R2R3 = bond). Addnl., The crystal structure of 2-methyl-4-phenylsulfonyl-1,2,3,4-tetrahydropyrrolo[3,4-b]indole is presented [triclinic, space group P.hivin.1, a 9.2250(3), b 9.2313(3), c 10.7440(4) Å, α 78.2570(10), β 79.8050(10), γ 65.7290(10)°, V 812.14(5) Å3, Z 2].
IT 116325-19-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrroloindoles by dipolar cycloaddn. of nitroindoles with azomethine ylides)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



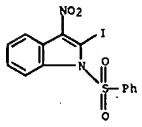
REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2007:79512 CAPLUS
DOCUMENT NUMBER: 146:295711
TITLE: Nucleophilic amination of 2-iodo-3-nitro-1-(phenylsulfonyl)indole
AUTHOR(S): Roy, Sujata; Gribble, Gordon W.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Tetrahedron Letters (2007), 48(6), 1003-1005
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:295711

AB The reaction of 2-iodo-3-nitro-1-(phenylsulfonyl)indole with amines affords the corresponding 2-amino-3-nitroindoles in excellent yields via nucleophilic aromatic substitution.

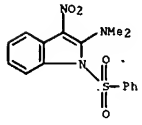
IT 927807-86-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(nucleophilic amination of iodo-nitro-(phenylsulfonyl)indole)

RN 927807-86-1 CAPLUS
CN 1H-Indole, 2-iodo-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

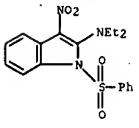


IT 927807-87-2P 927807-88-3P 927807-89-4P
927807-90-7P 927807-91-8P 927807-92-9P
927807-93-0P 927807-94-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(nucleophilic amination of iodo-nitro-(phenylsulfonyl)indole)

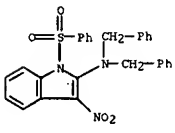
RN 927807-87-2 CAPLUS
CN 1H-Indol-2-amine, N,N-dimethyl-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



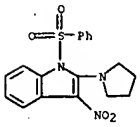
RN 927807-88-3 CAPLUS
CN 1H-Indol-2-amine, N,N-diethyl-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



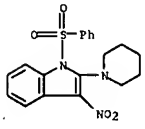
RN 927807-89-4 CAPLUS
CN 1H-Indol-2-amine, 3-nitro-N,N-bis(phenylmethyl)-1-(phenylsulfonyl)- (CA INDEX NAME)



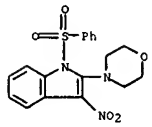
RN 927807-90-7 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



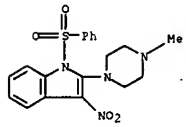
RN 927807-91-8 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)-2-(1-piperidinyl)- (CA INDEX NAME)



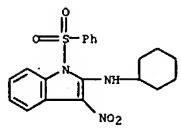
RN 927807-92-9 CAPLUS
CN 1H-Indole, 2-(4-morpholinyl)-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



RN 927807-93-0 CAPLUS
CN 1H-Indole, 2-(4-methyl-1-piperazinyl)-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

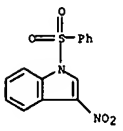


RN 927807-94-1 CAPLUS
CN 1H-Indol-2-amine, N-cyclohexyl-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1261543 CAPLUS
DOCUMENT NUMBER: 146:184387
TITLE: Novel electrophilic ipso acylation-detosylation reaction of pyrroles
AUTHOR(S): Pelkey, Erin T.; Gribble, Gordon W.
CORPORATE SOURCE: Department of Chemistry, Burke Laboratory, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Canadian Journal of Chemistry (2006), 84(10), 1338-1342
CODEN: CJCHAG; ISSN: 0008-4042
PUBLISHER: National Research Council of Canada
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:184387
AB A pyrrole and two pyrroloindoles that are substituted with a p-toluenesulfonyl group undergo an ipso acylation-detosylation reaction with acid chlorides and aluminum chloride to afford the corresponding acyl-substituted pyrroles and pyrroloindoles. E.g., reaction of 2-ethyl-2-(p-tosyl)pyrrole with AcCl/AlCl3 gave 64% 2-acetyl-4-ethylpyrrole.
IT 116325-19-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tosylated pyrroles and pyrroloindoles)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



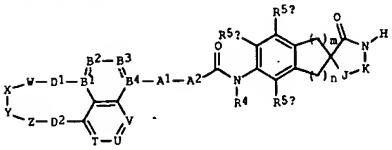
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:269581 CAPLUS
DOCUMENT NUMBER: 144:312071
TITLE: Preparation of tricyclic anilide spirolactam CGRP receptor antagonists
INVENTOR(S): Bell, Ian M.; Gallicchio, Steven N.; Stump, Craig A.; Theberge, Cory R.; Vacca, Joseph P.; Zartman, C. Blair; Zhang, Xufang
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006031491	A2	20060323	WO 2005-US31617	20050906
WO 2006031491	A3	20061109		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005285270	A1	20060323	AU 2005-285270	20050906
CA 2579717	A1	20060323	CA 2005-2579717	20050906
EP 1793827	A2	20070613	EP 2005-796847	20050906
CN 101014345	A	20070808	CN 2005-80029991	20050906
IN 2007DN01195	A	20070803	IN 2007-DN1195	20070213
PRIORITY APPLN. INFO.:			US 2004-608294P P	20040909
			WO 2005-US31617 W	20050906

OTHER SOURCE(S): MARPAT 144:312071
GI



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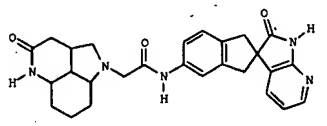


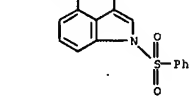
II

AB Title compds. I [A1 and A2 independently = bond or CR13R14, where one of A1 and A2 is optionally absent; B1 and B4 independently = C when double bond present, CR1 or N; B2 and B3 independently = bond, CR1R2, CO, CS, O, S, etc., where one of B2 and B3 is optionally absent; D1 and D2 independently = O, S, SO2, CR1R2, CO, etc.; J = =C(R6a)-; CR13R14, and CO; K = =C(R6b), CR13R14, CO, etc.; T, U and V independently = =C(R1)- and =N-, wherein at least one of T, U, and V = =C(R1)-; W, X, Y, and Z = bond, CR1R2, CS, O, etc.; R1 and R2 = H, (un)substituted alkyl, cycloalkyl, alkynyl, etc.; R4 = H, (un)substituted alkyl, benzyl, etc.; R5a, R5b, and R5c = H, alkyl, alkoxy, halo, etc.; R6a = H, OH, halo, CN, (un)substituted alkyl, etc.; R13 and R14 = H, OH, halo, and (un)substituted alkyl; m = 1 or 2; n = 1 or 2], and their pharmaceutically acceptable salts, useful as antagonists of calcitonin gene-related peptide (CGRP) receptors and useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache. Thus, e.g., II was prepared by reaction of (-)-5-amino-1,3-dihydrospiro[indene-2,3'-pyrrolo[2,3-b]pyridin]-2'(1'H)-one (preparation given) with lithium (4-oxo-2a,3,4,5-tetrahydropyrrolo[4,3,2-de]quinolin-1(2H)-yl)acetate (preparation given). I demonstrated activity as antagonists of the CGRP receptor with Ki or IC50 values generally less than about 50 μM. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved.

IT 880087-01-4P, tert-Butyl [3-nitro-1-(phenylsulfonyl)-1H-indol-4-yl]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic anilide spirolactam CGRP receptor antagonists)

RN 880087-01-4 CAPLUS
CN 1H-Indole-4-acetic acid, 3-nitro-1-(phenylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

L7 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

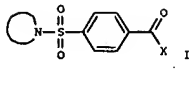


L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:638844 CAPLUS
DOCUMENT NUMBER: 143:133274
TITLE: Preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators
INVENTOR(S): Allen, Jennifer Rebecca; Amegadzie, Albert Kudzovi; Gardinier, Kevin Matthew; Gregory, George Stuart; Hitchcock, Steven Andrew; Hoogestraat, Paul J.; Jones, Winton Dennis, Jr.; Smith, Daryl Lynn
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066126	A1	20050721	WO 2004-US39763	20041213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004312311	A1	20050721	AU 2004-312311	20041213
CA 2549396	A1	20050721	CA 2004-2549396	20041213
EP 1699761	A1	20060913	EP 2004-812310	20041213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
BR 2004018079	A	20070417	BR 2004-18079	20041213
JP 2007519631	T	20070719	JP 2006-547015	20041213
US 2007088018	A1	20070419	US 2006-596495	20060615
US 7276516	B2	20071002		
MX 2006PA07199	A	20060914	MX 2006-PA7199	20060622
NO 2006003381	A	20060920	NO 2006-3381	20060721
PRIORITY APPLN. INFO.:			US 2003-532247P P	20031223
			WO 2004-US39763 W	20041213

OTHER SOURCE(S): MARPAT 143:133274
GI



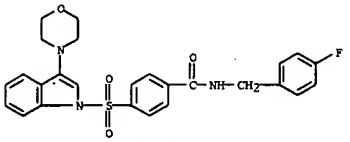
I

AB Title compds. I [the nitrogen containing ring = indolyl, pyrrolopyridinyl, etc.; X = amino, etc.] are prepared For instance,. N-(4-Fluorobenzyl)-4-[(3-phenylpyrrolo[3,2-c]pyridine-1-yl)sulfonyl]benzamide is prepared from 3-Phenyl-1H-pyrrolo[3,2-c]pyridine (preparation given) and 4-(4-Fluorobenzylcarbamoyl)benzenesulfonyl chloride (THF, KOBu-t, 16 h).

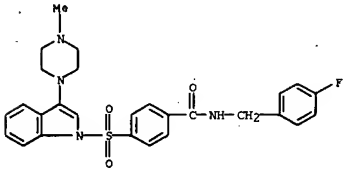
L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Compds. of the invention exhibit IC50 ≤ 5 μM for the CB1 and CB2 receptors. I are useful in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders (e.g., multiple sclerosis, Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis), cerebral vascular accidents, head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease and schizophrenia. I are also useful for the treatment of substance abuse disorders, particularly to opiates, alc., and nicotine and for the treatment of obesity or eating disorders assocd. with excessive food intake and complications assocd. therewith.

IT 859165-17-6P, N-(4-Fluorobenzyl)-4-[3-(morpholin-4-yl)indole-1-sulfonyl]benzamide 859165-18-7P, N-(4-Fluorobenzyl)-4-[3-(4-methylpiperazin-1-yl)indole-1-sulfonyl]benzamide 859165-19-8P, N-(4-Fluorobenzyl)-4-[3-(piperidin-1-yl)indole-1-sulfonyl]benzamide 859166-95-3P, N-(4-Fluoro-3-methoxybenzyl)-4-[3-(piperidin-1-yl)indole-1-sulfonyl]benzamide Hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators)

RN 859165-17-6 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[[3-(4-morpholinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

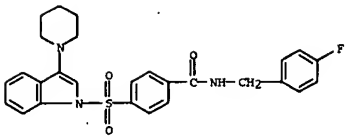


RN 859165-18-7 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

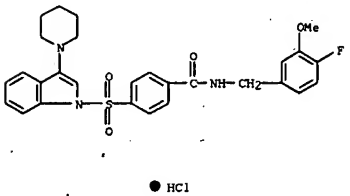


RN 859165-19-8 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[[3-(1-piperidinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 859166-95-3 CAPLUS
CN Benzamide, N-[(4-fluoro-3-methoxyphenyl)methyl]-4-[[3-(1-piperidinyl)-1H-indol-1-yl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

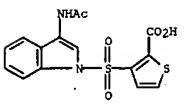
L7 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:626174 CAPLUS
DOCUMENT NUMBER: 141:307040
TITLE: Discovery of inhibitors of human adipocyte fatty acid-binding protein, a potential type 2 diabetes target
AUTHOR(S): Lehmann, Fredik; Haile, Saba; Axen, Eva; Medina, Carmen; Uppenberg, Jonas; Svensson, Stefan; Lundbaeck, Thomas; Rondahl, Lena; Barf, Tjeerd
CORPORATE SOURCE: Department of Medicinal Chemistry, Biovitrum AB, Uppsala, SE-751 37, Swed.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(17), 4445-4448
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:307040

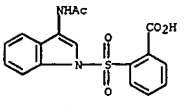
AB Low micromolar human A-FABP inhibitors were found by utilizing a fluorescence polarization assay, x-ray crystallog. and modeling. The carbazole- and indole-based inhibitors displayed approx. 10-fold preferences over human H-FABP and E-FABP, and are highly selective against I-FABP. This communication describes the SAR for drug-like synthetic inhibitors of human A-FABP.

IT 766538-50-5P 766538-58-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery of inhibitors of human adipocyte fatty acid-binding protein, potential type 2 diabetes target)

RN 766538-50-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[3-(acetylamino)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



RN 766538-58-3 CAPLUS
CN Benzoic acid, 2-[[3-(acetylamino)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

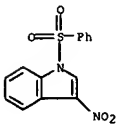
L7 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L7 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:478047 CAPLUS
DOCUMENT NUMBER: 135:257109
TITLE: Diels-Alder reactions of 2- and 3-nitroindoles. A simple hydroxycarbazole synthesis
AUTHOR(S): Kishbaugh, T. L. S.; Gribble, G. W.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Tetrahedron Letters (2001), 42(29), 4783-4785
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:257109

AB A Diels-Alder reaction of 3- and 2-nitroindoles with Danishefsky's diene gives the expected 2- and 3-hydroxycarbazoles in very good to excellent yields (73-91%) and with apparent complete regioselectivity.

IT 116325-19-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(Diels Alder reaction with Danishefsky's diene)

RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

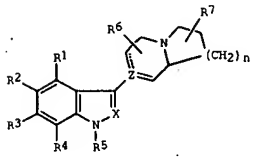


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:468208 CAPLUS
DOCUMENT NUMBER: 135:61353
TITLE: Preparation of bicyclic piperidine and piperazine compounds having 5-HT6 receptor affinity
INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok; Qiao, Qi
PATENT ASSIGNEE(S): Nps Allelix Corp., Can.
SOURCE: U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 97,008.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6251893	B1	20010626	US 1998-156495	19980918
CA 2335285	A1	19991223	CA 1999-2335285	19990610
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003523922	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
ES 2209525	T3	20040616	ES 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215
PRIORITY APPLN. INFO.:			US 1998-97008 A2	19980615
			US 1998-156495 A	19980918
			WO 1999-CA543 W	19990610

OTHER SOURCE(S): MARPAT 135:61353
GI



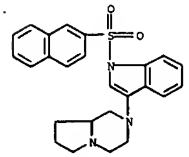
I

L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. I [R1-R4 = H, halo, OH, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN, (un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2, CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3, OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph; n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepared as 5-HT6 receptor inhibitors for treatment of diseases such as schizophrenia. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) derivative with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

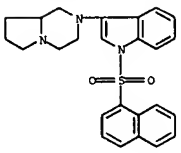
IT 252891-58-0P 252891-59-1P 252891-60-4P
252891-61-5P 252891-62-6P 252891-63-7P
252891-64-8P 252891-65-9P 252891-66-0P
252891-67-1P 252891-68-2P 252891-69-3P
252891-70-6P 252891-71-7P 252891-72-8P
252891-73-9P 252891-74-0P 252891-75-1P
252891-76-2P 252891-77-3P 252891-78-4P
252891-79-5P 252891-80-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic piperidine and piperazine compds. as 5-HT6 receptor antagonists)

RN 252891-58-0 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

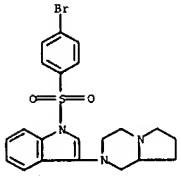


RN 252891-59-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

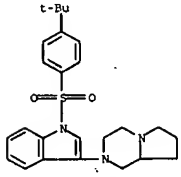
L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



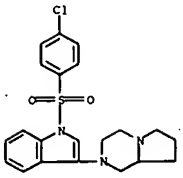
RN 252891-60-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



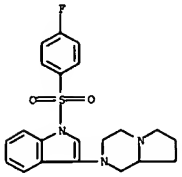
RN 252891-61-5 CAPLUS
CN 1H-Indole, 1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



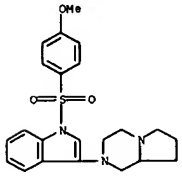
RN 252891-62-6 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



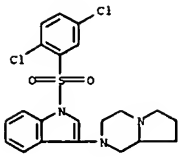
RN 252891-63-7 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



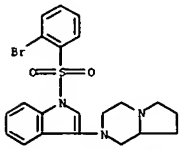
RN 252891-64-8 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



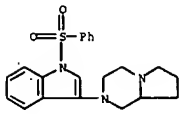
RN 252891-65-9 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



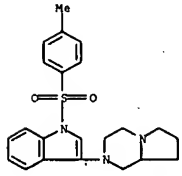
RN 252891-66-0 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



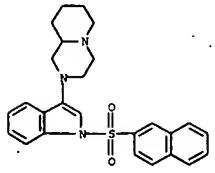
RN 252891-67-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



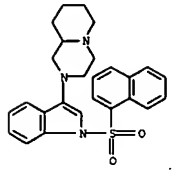
RN 252891-68-2 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



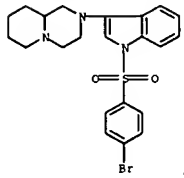
RN 252891-69-3 CAPLUS
CN 1H-Indole, 1-(2-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



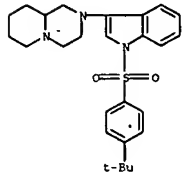
RN 252891-70-6 CAPLUS
CN 1H-Indole, 1-(1-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



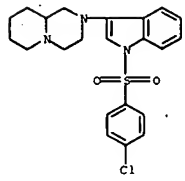
RN 252891-71-7 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



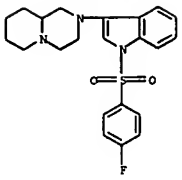
RN 252891-72-8 CAPLUS
CN 1H-Indole, 1-[(4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



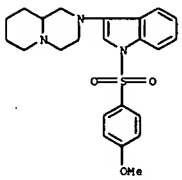
RN 252891-73-9 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



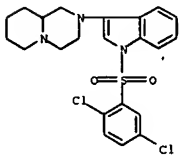
RN 252891-74-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



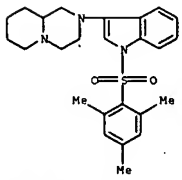
RN 252891-75-1 CAPLUS
CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



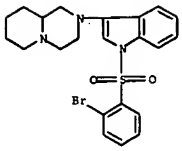
RN 252891-76-2 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



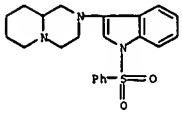
RN 252891-77-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



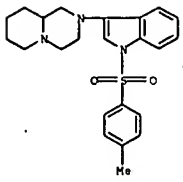
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



RN 252891-78-4 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 252891-79-5 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-80-8 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

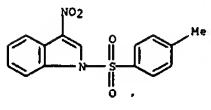
L7 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:327078 CAPLUS
DOCUMENT NUMBER: 135:92508
TITLE: Reactions of 1-Tosyl-3-substituted Indoles with Conjugated Dienes under Thermal and/or High-Pressure Conditions
AUTHOR(S): Biolatto, Betina; Kneeteman, Maria; Paredes, Elisa; Mancini, Pedro M. E.
CORPORATE SOURCE: Laboratorio Fester Area de Quimica Organica Departamento de Quimica Facultad de Ingenieria Quimica, Universidad Nacional del Litoral, Santa Fe, 3000, Argent.
SOURCE: Journal of Organic Chemistry (2001), 66(11), 3906-3912 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:92508

AB The behavior of 1-tosyl-3-acetylindole, N,N-diethyl-1-tosyl-3-indoleglyoxylamide, and 1-tosyl-3-nitroindole as dienophiles in Diels-Alder reactions under thermal and/or high-pressure conditions was explored with different dienes: isoprene, 1-(N-acetyl-N-propylamino)-1,3-butadiene, and 1-methoxy-3-trimethylsilyloxy-1,3-butadiene (Danishefsky's diene). Compared to the acylated indoles, the nitro derivative proved to be the best dienophile. In general, the use of Danishefsky's diene led to high-yielding reactions under milder conditions. Likewise, high-pressure conditions proved to be better in producing high yields of products. The advantage of high-pressure over thermal conditions was the ability of the former to generate highly functionalized adducts in better yields, which were otherwise very difficult or impossible to obtain. The use of thermal or high-pressure conditions led to different regio- and/or stereoselectivity in the adducts, allowing control of the regio- or stereoisomer produced.

IT 228412-76-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(Diels-Alder reactions of tosylindoles with conjugated dienes under thermal and/or high-pressure conditions)

RN 228412-76-8 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)



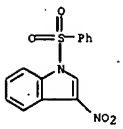
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:60499 CAPLUS
DOCUMENT NUMBER: 134:222647
TITLE: Regioselective 1,3-dipolar cycloaddition reactions of unsymmetrical munchnones (1,3-oxazolium-5-olates) with 2- and 3-nitroindoles. A new synthesis of pyrrolo[3,4-b]indoles
AUTHOR(S): Gribble, Gordon W.; Pelkey, Erin T.; Simon, Wendy M.; Trujillo, Hernando A.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Tetrahedron (2000), 56(52), 10133-10140
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:222647

AB Unsym. mesoionic munchnones, 2-methyl-4-phenyl- and 4-methyl-2-phenyl-3-benzyl-1,3-oxazolium-5-olate, react with 2-nitroindole-1-carboxylate, 3-nitro-1-(phenylsulfonyl)indole, and 3-nitroindole-1-carboxylate in refluxing THF to afford in good to excellent yields 2-benzyl-1-methyl-3-phenyl-4-carbethoxy-, 2-benzyl-3-methyl-1-phenyl-4-carbethoxy-, 2-benzyl-1-methyl-3-phenyl-4-(phenylsulfonyl)-, and 2-benzyl-3-methyl-1-phenyl-4-(phenylsulfonyl)-2,4-dihydropyrrol[3,4-b]indole, resp. In several cases, the regiochem., which is opposite to that predicted by FMO theory, is very high and leads essentially to a single pyrrol[3,4-b]indole.

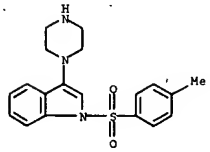
IT 116325-19-0P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrol[3,4-b]indoles by regioselective 1,3-dipolar cycloaddn. of unsym. munchnones and calculated HOMO/LUMO)

RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(1-piperazinyl)- (CA INDEX NAME)

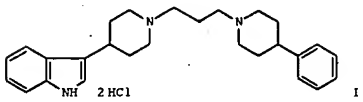


REFERENCE COUNT: 89 THERE ARE 89 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:911215 CAPLUS
DOCUMENT NUMBER: 134:71490
TITLE: Preparation and effect of indole derivatives as α1B-adrenergic receptor antagonists
INVENTOR(S): Hayasahi, Ryoji; Ohmori, Eiji; Isogaya, Masafumi; Morikawa, Mitsuhiro; Kumagai, Hiroki
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078716	A1	20001228	WO 2000-JP4068	20000622
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2341542	A1	20001228	CA 2000-2341542	20000622
AU 2000055670	A	20010109	AU 2000-55670	20000622
EP 1106605	A1	20010613	EP 2000-940789	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6642228	B1	20031104	US 2001-763684	20010413
PRIORITY APPLN. INFO.:			JP 1999-178170 A	19990624
			WO 2000-JP4068 W	20000622

OTHER SOURCE(S): MARPAT 134:71490
GI



I

AB Title compds. [ArBNR1(CO)nAQ; Ar is indole or the like; R1 is hydrogen or the like; B is a bond or B-N-R1 may form a ring structure such as piperidine; n is 0, 1 or the like; A is trimethylene, butylene, or the like; and Q is piperidine, isoindoline, or the like] and pharmacol. acceptable acid addition salts are prepared as α1B-adrenergic receptor antagonists. Title compds. and the salts serve as antagonists having a high affinity for α1B-adrenergic receptor and are useful as drugs to be used in the prevention and/or treatment of α1B-adrenergic receptor-related diseases (such as hypertension) or as pharmacol. tools for the elucidation of physiol. actions occurring through α1B-adrenergic receptor. Thus, the title compound I was prepared and tested.

IT 314083-22-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and effect of indole derivs. as α1B-adrenergic receptor antagonists)

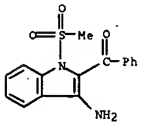
RN 314083-22-2 CAPLUS

L7 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:201423 CAPLUS
DOCUMENT NUMBER: 132:347461
TITLE: Synthesis and analgesic activity of some 1-benzofurans, 1-benzothiophenes and indoles
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Urbankova, Jitka; Vachal, Petr; Krejci, Ivan
CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 130 60, Czech Rep.
SOURCE: Collection of Czechoslovak Chemical Communications (2000), 65(2), 280-296
CODEN: CCCCAK; ISSN: 0010-0765
PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DOCUMENT TYPE: Journal
LANGUAGE: English

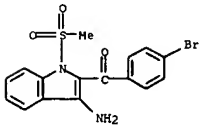
AB 3-Unsubstituted 1-benzofurans, 3-methyl-1-benzofurans, and 3-amino-1-benzofurans, as well as 3-amino-1-benzothiophenes and 3-aminoindoles were prepared and tested as analgesics. 3-Amino-1-benzofurans were prepared from the corresponding 2-hydroxybenzonitriles and phenacyl bromides. Similar treatment of 2-sulfanylbenzonitrile provided 3-amino-1-benzothiophenes. Appropriately substituted 2-aminobenzonitriles then provided N-substituted 3-aminoindoles. 1-(Ethoxycarbonyl)indoles were successfully deprotected giving indoles.

IT 269075-58-3P 269075-59-4P 269075-60-7P
269075-61-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 269075-58-3 CAPLUS
CN 1H-Indol-3-amine, 2-benzoyl-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

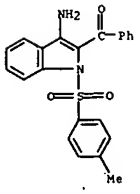


RN 269075-59-4 CAPLUS
CN 1H-Indol-3-amine, 2-(4-bromobenzoyl)-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

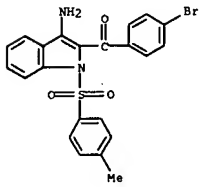


RN 269075-60-7 CAPLUS
CN 1H-Indol-3-amine, 2-benzoyl-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX

L7 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
NAME)



RN 269075-61-8 CAPLUS
CN 1H-Indol-3-amine, 2-(4-bromobenzoyl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

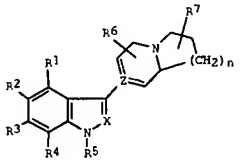


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:811242 CAPLUS
DOCUMENT NUMBER: 132:49982
TITLE: Bicyclic piperidine and piperazine compounds having 5HT6 receptor affinity
INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok
PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6251893	B1	20010626	US 1998-156495	19980918
CA 2335285	A1	19991223	CA 1999-2335285	19990610
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003523922	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215

PRIORITY APPLN. INFO.: US 1998-97008 A 19980615
US 1998-156495 A 19980918
WO 1999-CA543 W 19990610
OTHER SOURCE(S): MARPAT 132:49982
GI



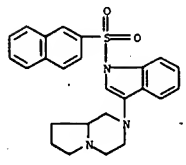
I

AB Title compds. I [R1-R4 = H, halo, OH, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO2, CN, (un)substituted Ph, furyl, thienyl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2,

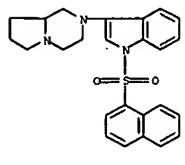
L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CO2H, NHCHO, NHCH:NH, C(:NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3, OCF3; R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph, CH2Ph; R7 = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH2Ph, OPh, OCH2Ph; n = 1-3; X = CR8, N; R8 = H, alkyl, CH2Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thienyl, furanyl, naphthyl, quinolyl, isoquinolyl] were prepd. for use as inhibitors of the 5-HT6 receptor. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A, 5-HT2C, and 5-HT7 receptors.

IT 252891-58-0P 252891-59-1P 252891-60-4P
252891-61-5P 252891-62-6P 252891-63-7P
252891-64-8P 252891-65-9P 252891-66-0P
252891-67-1P 252891-68-2P 252891-69-3P
252891-70-6P 252891-71-7P 252891-72-8P
252891-73-9P 252891-74-0P 252891-75-1P
252891-76-2P 252891-77-3P 252891-78-4P
252891-79-5P 252891-80-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic piperidine and piperazine compds. as 5HT6 receptor antagonists)

RN 252891-58-0 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

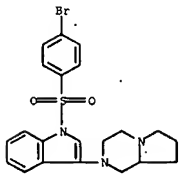


RN 252891-59-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

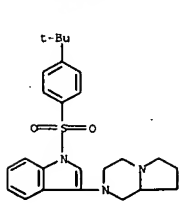


L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

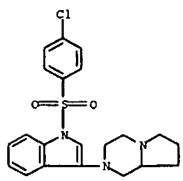
RN 252891-60-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



RN 252891-61-5 CAPLUS
CN 1H-Indole, 1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)

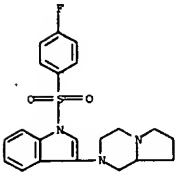


RN 252891-62-6 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)

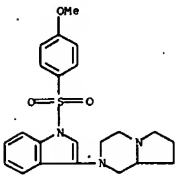


RN 252891-63-7 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-

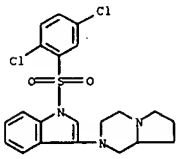
2(1H)-yl)- (9CI) (CA INDEX NAME)



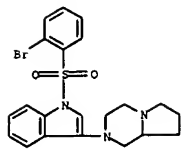
RN 252891-64-8 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



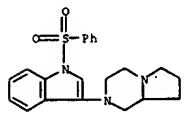
RN 252891-65-9 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



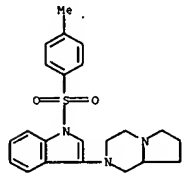
RN 252891-66-0 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



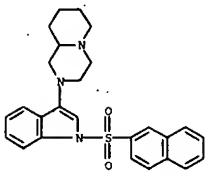
RN 252891-67-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



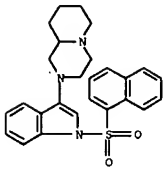
RN 252891-68-2 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



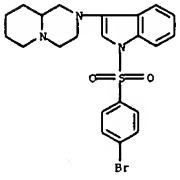
RN 252891-69-3 CAPLUS
CN 1H-Indole, 1-(2-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



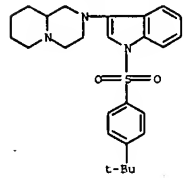
RN 252891-70-6 CAPLUS
CN 1H-Indole, 1-(1-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



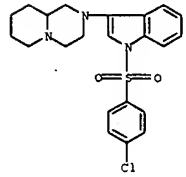
RN 252891-71-7 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



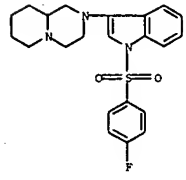
RN 252891-72-8 CAPLUS
CN 1H-Indole, 1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



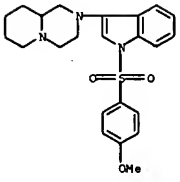
RN 252891-73-9 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



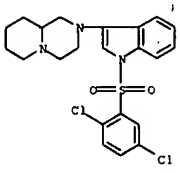
RN 252891-74-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



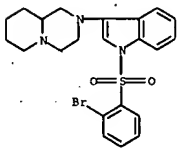
RN 252891-75-1 CAPLUS
CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



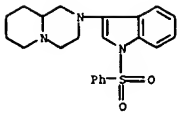
RN 252891-76-2 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



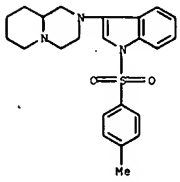
RN 252891-77-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



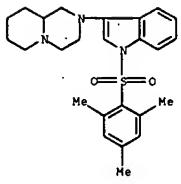
RN 252891-78-4 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 252891-79-5 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-80-8 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

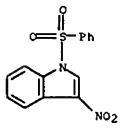
L7 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:447537 CAPLUS
DOCUMENT NUMBER: 131:214155
TITLE: Synthesis and reactions of N-protected 3-nitroindoles
AUTHOR(S): Pelkey, Erin T.; Gribble, Gordon W.
CORPORATE SOURCE: Dep. Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Synthesis (1999), (7), 1117-1122
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:214155

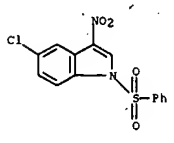
AB Treatment of N-protected indoles with AcNO3 generated in situ at low temps. affords the corresponding 3-nitroindoles in good to excellent yields. Deprotection of 1-acetyl-3-nitroindole with DBU gives 3-nitroindole. Reaction of 5-chloro-3-nitro-1-(phenylsulfonyl)indole with CNCH2CO2Et and base affords the rearranged 5-chloro-1,8-dihydro-8-(phenylsulfonyl)pyrrolo[2,3-b]indole-2-carboxylate. In contrast, treatment of 3-nitro-1-indolecarboxylates with CNCH2CO2Et and base affords the expected pyrrolo[3,4-b]indoles, products of a normal Barton-Zard pyrrole synthesis.

IT 116325-19-0P 243454-85-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of N-protected nitroindoles)

RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

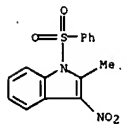


RN 243454-85-5 CAPLUS
CN 1H-Indole, 5-chloro-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



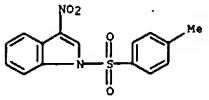
IT 243454-84-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactions of N-protected nitroindoles)

RN 243454-84-4 CAPLUS
CN 1H-Indole, 2-methyl-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

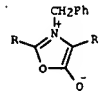


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:295975 CAPLUS
DOCUMENT NUMBER: 131:59010
TITLE: Diels-Alder reactions of N-tosyl-3-nitroindole and dienamides: synthesis of intermediates of Aspidospermine alkaloids
AUTHOR(S): Biolatto, Betina; Kneeteman, Maria; Mancini, Pedro
CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Ingenieria Quimica, Universidad Nacional del Litoral, Santa Fe, 3000, Argent.
SOURCE: Tetrahedron Letters (1999), 40(17), 3343-3346
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:59010
AB N-tosyl-3-nitroindole undergoes high yielding Diels-Alder reactions with 1-(N-acyl-N-alkylamino)-1,3-butadienes in a regioselective manner, to afford advanced intermediates for the synthesis of Aspidospermine alkaloids.
IT 228412-76-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aspidospermine alkaloid intermediates via Diels-Alder reaction of tosylnitroindole and dienamides)
RN 228412-76-8 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)

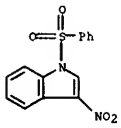


L7 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:682658 CAPLUS
DOCUMENT NUMBER: 130:3783
TITLE: New syntheses of pyrrolo[3,4-b]indoles, benzo[b]furo[2,3-c]pyrroles, and benzo[b]thieno[2,3-c]pyrroles. Utilizing the reaction of muenchnones (1,3-oxazolium-5-olates) with nitro heterocycles
AUTHOR(S): Gribble, Gordon W.; Pelkey, Erin T.; Switzer, Frank L.
CORPORATE SOURCE: Department Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Synlett (1998), (10), 1061-1062
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:3783
GI



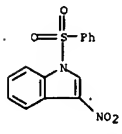
I

AB 1,3-Dipolar cycloaddn. of muenchnones I (R = Ph, Me; mesoionic 1,3-oxazolium-5-olates) with 2- and 3-nitroindoles, 2-nitrobenzo[b]furan, and 3-nitrobenzo[b]thiophene affords the corresponding pyrrolo[3,4-b]indoles, benzo[b]furo[2,3-c]pyrroles, and benzo[b]thieno[2,3-c]pyrroles, resp., in 1 operation in good to excellent yields.
IT 116325-19-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolo[3,4-b]indoles, benzo[b]furo[2,3-c]pyrroles, and benzo[b]thieno[2,3-c]pyrroles from muenchnones and nitro heterocycles)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



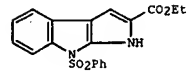
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:707284 CAPLUS
DOCUMENT NUMBER: 127:346316
TITLE: One-step syntheses of the pyrrolo[3,4-b]indole and pyrrolo[2,3-b]indole ring systems from 3-nitroindoles
AUTHOR(S): Pelkey, Erin T.; Gribble, Gordon W.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Chemical Communications (Cambridge) (1997), (19), 1873-1874
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The reaction of 1-ethoxycarbonyl-3-nitroindole with Et isocyanoacetate in the presence of DBU gives Et 4-ethoxycarbonyl-2,4-dihydropyrrolo[3,4-b]indole-3-carboxylate, averting a novel rearrangement that we previously reported with 3-nitro-1-phenylsulfonylindole.
IT 116325-19-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(one-step preparation of pyrroloindoles)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



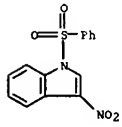
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:528949 CAPLUS
DOCUMENT NUMBER: 125:275752
TITLE: An abnormal Barton-Zard reaction leading to the pyrrolo[2,3-b]indole ring system
AUTHOR(S): Pelkey, Erin T.; Chang, Louis; Gribble, Gordon W.
CORPORATE SOURCE: Dep. of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
SOURCE: Chemical Communications (Cambridge) (1996), (16), 1909-1910
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:275752
GI



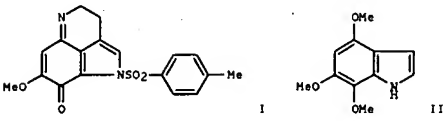
I II

AB The reaction of 3-nitro-N-(phenylsulfonyl)indole with Et isocyanoacetate under the Barton-Zard pyrrole synthesis conditions gives Et 8-(phenylsulfonyl)-1,8-dihydropyrrolo[2,3-b]indole-2-carboxylate I rather than the anticipated Et 4-(phenylsulfonyl)-2,4-dihydropyrrolo[3,4-b]indole-3-carboxylate II.
IT 116325-19-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of Et 8-(phenylsulfonyl)-1,8-dihydropyrrolo[2,3-b]indole-2-carboxylate via 3-nitro-N-(phenylsulfonyl)indole and Et isocyanoacetate under Barton-Zard pyrrole synthesis conditions)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



L7 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:433559 CAPLUS
DOCUMENT NUMBER: 122:187848
TITLE: Efficient Syntheses of the Marine Alkaloids Makaluvamine D and Discorhabdin C: The 4,6,7-Trimethoxyindole Approach
AUTHOR(S): Sadanandan, Eyyani V.; Pillai, Sasi K.; Lakshmikantham, M. V.; Billimoria, Adil D.; Culpepper, J. Shane; Cava, Michael P.
CORPORATE SOURCE: Department of Chemistry, The University of Alabama, Tuscaloosa, AL, 35487-0336, USA
SOURCE: Journal of Organic Chemistry (1995), 60(6), 1800-5
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:187848
GI



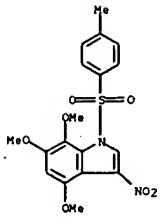
I II

AB A new and efficient synthesis of the tricyclic quinonimine I as its trifluoroacetate was developed starting from the com. available 2,4,5-trimethoxybenzaldehyde and proceeding via the hitherto unknown 4,6,7-trimethoxyindole II. I trifluoroacetate is the late stage key intermediate in several previously reported syntheses of the biol. active pyrrolo[4,3,2-de]quinoline marine alkaloids discorhabdin C and makaluvamine D.

IT 161156-13-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(efficient syntheses of the marine alkaloids makaluvamine D and discorhabdin C via the trimethoxyindole approach)

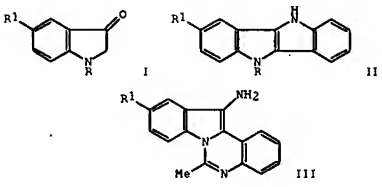
RN 161156-13-4 CAPLUS
CN 1H-Indole, 4,6,7-trimethoxy-1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)

L7 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L7 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:656101 CAPLUS
DOCUMENT NUMBER: 115:256101
TITLE: Fischer reaction of 1-acetyl-3-oxo-2,3-dihydroindole and 1-(p-toluenesulfonyloxy)-3-oxo-2,3-dihydroindole
AUTHOR(S): Merour, Jean Yves; Savelon, Laurence
CORPORATE SOURCE: LCBA, Univ. Orleans, Orleans, 45067, Fr.
SOURCE: Heterocycles (1991), 32(5), 849-53
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:256101
GI

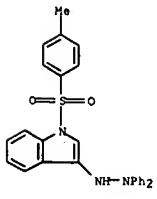


I II III

AB Indole I (R = 4-MeC6H4SO2, R1 = H) reacts with PhNHNH2 in AcOH at reflux for 1 h to give indoloindole II. Under the same conditions I (R = Ac, R1 = H, OMe, Cl, Me) reacts with PhNHNH2 to give indoloquinazolines III.

IT 136258-58-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 136258-58-7 CAPLUS
CN 1H-Indole, 3-(2,2-diphenylhydrazino)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

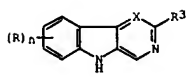


L7 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:559181 CAPLUS
DOCUMENT NUMBER: 115:159181
TITLE: Preparation of β-carboline analogs as central nervous system (CNS) agents
INVENTOR(S): Huth, Andreas; Krueger, Martin; Rahtz, Dieter; Seidelmann, Dieter; Schmiechen, Ralph; Turski, Lechoslaw; Andrews, John Stewart; Schneider, Herbert Hans
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3943225	A1	19910627	DE 1989-3943225	19891223
CA 2050917	A1	19910624	CA 1990-2050917	19901219
WO 9109858	A1	19910711	WO 1990-DE982	19901219
W: CA, HU, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
EP 460153	A1	19911211	EP 1991-900736	19901219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 59403	A2	19920528	HU 1991-2769	19901219
JP 04505928	T	19921015	JP 1991-501181	19901219
NO 9103297	A	19910822	NO 1991-3297	19910822
US 5254563	A	19931019	US 1991-773659	19911023

PRIORITY APPLN. INFO.: DE 1989-3943225 A 19891223
WO 1990-DE982 W 19901219
OTHER SOURCE(S): MARPAT 115:159181
GI



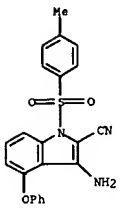
I

AB β-Carboline derivs. I [R = halo, CHR1R2, PH, OR5; n = 1, 2; R1 = H, C1-4 alkyl; R2 = (substituted) Ph, CH2Ph or OPh, H, C1-4 alkyl; C1-4 alkoxy; R5 = (substituted) Ph, CH2Ph, or heteroaryl, H, trialkylsilyl, C1-4 alkyl, C3-7 cycloalkyl; X = N, CR4; R4 = H, C1-4 alkyl, C1-4 alkoxymethyl, C1-4 alkoxyethyl; R3 = COR6, CH(OH)R6; R6 = C3-10 cycloalkyl or bicycloalkyl, (substituted) aryl or heteroaryl], useful as benzodiazepine receptor agonists and/or antagonists (no data), were prepared Thus, 6-benzyloxy-4-methoxymethyl-9-tosyl-β-carboline-3-carboxylic acid iso-Pr ester in absolute THF at -60° was treated with 1.08 M PhLi in Et2O/hexane and the resulting solution was stirred 1 h at -60°. The solution was warmed to room temperature, stirred 3 h, then acidified by HCl to give 6-benzyloxy-4-methoxymethyl-3-benzoyl-β-carboline.

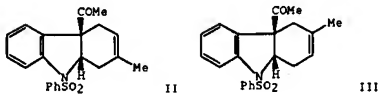
IT 136305-16-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for CNS agents)

RN 136305-16-3 CAPLUS

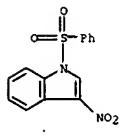
L7 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Indole-2-carbonitrile, 3-amino-1-[(4-methylphenyl)sulfonyl]-4-phenoxy- (CA INDEX NAME)



L7 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:38833 CAPLUS
DOCUMENT NUMBER: 110:38833
TITLE: Five-membered aromatic heterocycles as dienophiles in Diels-Alder reactions. Furan, pyrrole, and indole
AUTHOR(S): Wenkert, Ernest; Moeller, Peter D. R.; Piettre, Serge R.
CORPORATE SOURCE: Dep. Chem., Univ. California, San Diego, La Jolla, CA, 92093, USA
SOURCE: Journal of the American Chemical Society (1988), 110(21), 7188-94
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:38833
GI



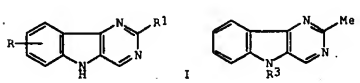
AB Isoprene (I) undergoes high-yielding Diels-Alder reactions with 3-acylfurans, 3-acyl-1(phenylsulfonyl)pyrroles, and 1,3-acyl-1-(phenylsulfonyl)indoles. The regioselectivity is poor in uncatalyzed reactions; in the presence of AlCl3 it improves markedly. Thus, the reaction of I with 3-acetyl-2-(phenylsulfonyl)indole gives adducts II and III in a 2:1 ratio in the absence of catalyst. In the presence of AlCl3 the II-III ratio is 96:4. CH2:CHCH:CH2 reacts similarly with 3-acyl-1-(phenylsulfonyl)indoles.
IT 116325-19-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Diels-Alder reaction of, with isoprene, regiochem. of)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



L7 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:591958 CAPLUS
DOCUMENT NUMBER: 101:191958
ORIGINAL REFERENCE NO.: 101:29095a,29098a
TITLE: Substituted 5H-pyrimido[5,4-b]indoles
INVENTOR(S): Rahtz, Dieter; Huth, Andreas; Schmiechen, Ralph; Seidelmann, Dieter; Kehr, Wolfang; Schneider, Herbert Hans
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

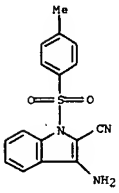
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3246932	A1	19840620	DE 1982-3246932	19821216
EP 115248	A1	19840808	EP 1983-730120	19831212
EP 115248	B1	19900228		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 50580	T	19900315	AT 1983-730120	19831212
DK 8305733	A	19840617	DK 1983-5733	19831213
FI 8304585	A	19840617	FI 1983-4585	19831214
FI 78096	B	19890228		
FI 78096	C	19890612		
NO 8304609	A	19840618	NO 1983-4609	19831214
JP 59130288	A	19840726	JP 1983-236450	19831216
ES 528115	A1	19840801	ES 1983-528115	19831216
US 4564610	A	19860114	US 1983-562248	19831216
ES 529235	A1	19841001	ES 1984-529235	19840127

PRIORITY APPLN. INFO.: DE 1982-3246932 A 19821216
EP 1983-730120 A 19831212
OTHER SOURCE(S): CASREACT 101:191958; MARPAT 101:191958
GI

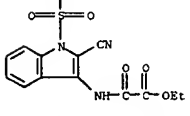


AB Central nervous system active (no data) title compds. [I; R = halo, NO2, CO2H, modified CO2H, R2O, R2S(O)n, R2 = H, alkyl, cycloalkyl, aralkyl, aryl, amino; n = 0-2; R1 = H, alkyl, cycloalkyl, aralkyl, aryl, CO2H, modified CO2H, amino, R2O, R2S(O)n] were prepared Thus, 3-amino-1-tosylindole-2-carbonitrile was acetylated and the N-acetyl derivative was reductively cyclized by hydrogenation over Raney Ni to give II (R3 = 4-MeC6H4SO2). This was detosylated by refluxing with Na in EtOH to give II (R3 = H).
IT 74897-46-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of)
RN 74897-46-4 CAPLUS
CN 1H-Indole-2-carbonitrile, 3-amino-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

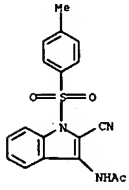
L7 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 92749-89-8P 92750-45-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of)
RN 92749-89-8 CAPLUS
CN Acetic acid, [[2-cyano-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



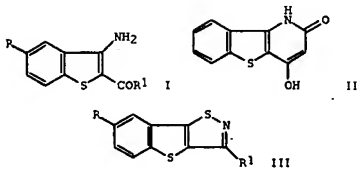
RN 92750-45-3 CAPLUS
CN Acetamide, N-[2-cyano-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]- (CA INDEX NAME)



L7 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L7 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

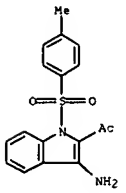
ACCESSION NUMBER: 1980:532410 CAPLUS
DOCUMENT NUMBER: 93:132410
ORIGINAL REFERENCE NO.: 93:21113a,21116a
TITLE: Tricyclic systems obtained from some 3-aminobenzo[b]thiophene derivatives
AUTHOR(S): Clarke, Kenneth; Fox, William Richard; Scrowston, Richard M.
CORPORATE SOURCE: Dep. Chem., Univ. Hull, Hull, HU6 7RX, UK
SOURCE: Journal of Chemical Research, Synopses (1980), (2), 33
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:132410
GI



AB The thiophene I (R = H, R1 = OEt) reacted sequentially with CH2(CO2Et)2, NaOMe, and NaOH to give the benzothienopyridine II in 57% overall yield. I (R = H, R1 = H, Me; R = NO2, R1 = Me) treated sequentially with CuSCN/KSCN, NH2OH, and polyphosphoric acid gave the isothiazoles III (R,R1 as before). The nitration, oxidation, and Vilsmeier formylation of III (R = H, R1 = Me) are described.

IT 74897-45-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thiocyanation of)

RN 74897-45-3 CAPLUS
CN 1H-Indol-3-amine, 2-acetyl-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 74897-46-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 74897-46-4 CAPLUS
CN 1H-Indole-2-carbonitrile, 3-amino-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)